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=> file hcaplus
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=> d l17 1-34 ibib abs hitstr hitind
L17 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2004:780526 HCAPLUS
DOCUMENT NUMBER:
                         141:289059
                         Treatment of intestinal conditions
TITLE:
                        with N-2,3,3-tetramethylpicyclo[2.2.1]heptan-2-
                         amine
                         Devane, John
INVENTOR(S):
                         Athpharma Limited, Ire
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 83 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                            APPLICATION NO.
                                DATE
                                                                   DATE
    WO 2004080446
                                20040923
                                           WO 2004-IB1134
                          A1
                                                                    200403
                                                                    12
                                20041209
    WO 2004080446
                         B1
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,
             KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
             MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,
             SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
             VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
             ML, MR, NE, SN, TD, TG
                         AA
                               20040923
                                           CA 2004-2518385
    CA 2518385
                                                                    200403
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200'41021 US 2004-798421

US 2004209961

A1

P. SPIVACK 10/798,421 Page 2 200403 12 EP 1603544 EP 2004-720110 A1 ' 20051214 200403 12 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK UŚ 2003-454527P PRIORITY APPLN. INFO.: 200303 14 WO 2004-IB1134 200403 12 The invention discloses methods and formulations for reducing, AB preventing, and/or managing abnormal increases in gastrointestinal motility, and intestinal conditions that cause the same. / Methods of using N-2,3,3-tetramethylbicyclo-[2.2/1]heptane-2-amine and formulations comprising N-2,3,3-tetramethylbicyclo-[2.2.1]heptan-2-amine are included. IT 60-40-2 (tetramethylbicycloheptanamine for modulating gastrointestinal motility/and treating intestinal conditions, and combinations with other agents) RN 60-40-2 HCAPLUS CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) NAME) NHMe Me Me Me IT 107538-05-6 107538-06-7 (tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and/combinations with other agents) RN107538-05-6 HCAPLUS Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1R,2S,4S)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 107538-06-7 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1S,2R,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IC ICM A61K031-135

ICS A61P001-12

CC 1-9 (Pharmacology)

Section cross-reference(s): 63

ST tetramethylbicycloheptanamine gastrointestinal motility intestinal condition

IT Inflammation

(Crohn's disease, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents)

IT Intestine, disease

(Crohn's, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents)

IT Antihistamines

(H2; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents)

IT Gastrointestinal motility

(agents altering; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents)

IT Drug delivery systems (buccal; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Inflammation Intestine, disease (colitis, spastic, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents). IT Intestine, disease (colon, neurogenic colon, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with ofther agents) IT Drug delivery systems (delayed release; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with/other agents) IT Biological transport (digestive tract fluid transport, agents altering; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) Gastrointestinal motility IT (disorder, dysmotility; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating 🗼 🔑 intestinal conditions, and combinations with other agents) IT Inflammation Intestine, disease (diverticulitis, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Inflammation Intestine, disease (enterocolitis, acute, gástrointestinal motility increase from; /tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Drug delivery systems (extended-release; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents)

Fats and Glyceridic oils, biological studies IT (fish; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Digestive tract (fluid transport, agents altering; tetramethylbicycloheptanamine for modulating gastrointestinal motility and tréating intestinal conditions, and combinations with other agents) IT Bladder (function; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Intestine, disease (functional bowel disorder, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Nervous system agents (ganglionic blocking agents; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Drug delivery systems (immediate-release; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal. conditions, and combinations with other agents) IT Intestine, disease (inflammatory, gastrointestinal motility increase from; tetramethylbicycloheptanaminé for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) ITIntestine, disease (irritable bowel syndrome, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Intestine (large, infection, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Dysentery (mild, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal

conditions, and combinations with other agents) IT Drug delivery systems (modified-release; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents), IT Drug delivery systems (multiparticulate; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) Drug delivery systems IT (nasal; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) Intestine, disease IT (neurogenic, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Drug delivery systems (oral; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Transport proteins (proton pump, inhibitors; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Stomach (pylorus, pyloric spasm, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motifity and treating intestinal conditions, and combinations with other agents) IT Intestine, disease (small, infection, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and/treating intestinal conditions, and combinations with other agents) IT Muscle, disease (spasm, abdominal, gastrointestinal motility increase from; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Muscle relaxants (spasmolytics; tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents) IT Digestive tract, disease

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(splenic flexure syndrome,
        gastrointestinal motility increase from;
        tetramethylbicycloheptanamine for modulating/
        gastrointestinal motility and treating intestinal
        conditions, and combinations with other agents)
IT
     Drug delivery systems
        (sublingual; tetramethylbicycloheptanaminé for modulating
        gastrointestinal motility and treating intestinal
        conditions, and combinations with other/agents)
IT
     Drug delivery systems
        (tablets, modified-release; tetramethy/bicycloheptanamine for
        modulating gastrointestinal motility and treating
        intestinal conditions, and combinations with other
        agents)
IT
     5-HT agonists
     5-HT antagonists
     Antacids
     Anti-infective agents
     Anti-inflammatory agents
     Antidiarrheals
     Blood pressure
     Calcium channel blockers
     Combination chemotherapy
    Diarrhea
    Diuretics
  : Drug delivery systems
    Drug toxicity
      Gastrointestinal agents
    Heart rate
    Human
     Immunomodulators
    Muscarinic antagonists
    Nicotinic antagonists
    Vision
        (tetramethylbicycloheptanamine for modulating
       gastrointestinal motility and treating intestinal
        conditions, and combinations with other agents)
    Corticosteroids, biological studies
IT
    Estrogens
    Mineralocorticoids
    Opioids
     Steroids, biological studies
        (tetramethylbicycloheptanamine for modulating
        gastrointestinal motility and treating intestinal
        conditions, and combinations with other agents)
IT
    Drug delivery systems
        (transdermal; tetramethylbicycloheptanamine for modulating
        gastrointestinal motility and treating intestinal
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conditions, and combinations with other agents)
IT
     Inflammation
       Intestine, disease
        (ulcerative colitis, gastrointestinal
        motility increase from; tetramethylbicycloheptanamine for
        modulating gastrointestinal motility and treating
        intestinal conditions, and combinations with other
        agents)
IT
    Adrenoceptor antagonists
        (.beta.-; tetramethylbicycloheptanamine for modulating
        gastrointestinal motility and treating intestinal
        conditions, and combinations with other/agents)
ΙT
     60-40-2
        (tetramethylbicycloheptanamine for modulating
        gastrointestinal motility and treating intestinal
        conditions, and combinations with other agents)
                              50-23-7, Cortisol
IT
     50-02-2, Dexamethasone
                                                  50-24-8, Prednisolone
     50-44-2, 6-Mercaptopurine
                                 51-34-3, Scopolamine
                                                         51-55-8,
    Atropine, biological studies
                                    52-53-9, Verapamil
                                                          53-03-2,
                  53-06-5, Cortisone
                                       54-11/-5, Nicotine 54-31-9,
    Prednisone
    Furosemide
                  57-27-2, Morphine, biological studies
                                                           57-94-3,
                    59-05-2, Methotrexate
                                           60-26-4, Hexamethonium
    Tubocurarine
               76-41-5, Oxymorphone
                                      76-57-3, Codeine
                                                          89-57-6,
                             101-31-5, Hyoscyamine
     5-Aminosalicylic acid
                                                     124-90-3, Oxycontin
    125-28-0, Dihydrocodeine
                                156-74-1, Decamethonium
                                                           306-40-1,
    Succinylcholine
                       378-44-9, Betamethasone
                                                 437-38-7, Fentanyl
                               446-86-6, Azathioprine
    443-48-1, Metronidazole
                                                         596-51-0,
                      599-79-1, Sulfasalazine
    Glycopyrrolate
                                                768-94-5, Amantadine
                            7187-66-8, Trimethaphan
    2609-46-3, Amiloride
                                                       7290-03-1,
                                                           9005-49-6,
                 7440-69-9, Bismuth, biological studies
    Heparin, biological studies
                                   15500-66-0, Pancuronium
                                                              23255-54:-1
    28782-42-5, Difenoxine
                              50700-72-6, Vecuronium
                                                       53179-11-6,
                                        59865-13-3, Cyclosporine
    Loperamide
                  55985-32-5, Perpidiné
                              79517-01-4, Sandostatin
    64228-79-1, Atracurium
                                                         85721-33-1,
    Ciprofloxacin
                     90566-53-3, Fluticasone 107538-05-6
    107538-06-7
                   122852-69-1, Alosetron hydrochloride
                               133814-19-4, Mivacurium
                                                          143558-00-3,
    133814-18-3, Doxacurium
                  170277-31-3, Remicade
                                          760175-93-7
                                                         760175-94-8
    Rocuronium
                   760175-96-0
    760175-95-9
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                                                              760175-99-3
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    760176-00-9
                   760176-01-0
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    760176-41-8
                   760176-42-9
                                 760176-43-0
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```

(tetramethylbicycloheptanamine for modulating gastrointestinal motility and treating intestinal conditions, and combinations with other agents)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN

THE RE FORMAT

L17 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:499918 HCAPLUS

DOCUMENT NUMBER:

139:240692

TITLE:

Blockade of neuronal facilitatory nicotinic receptors containing .alpha.3.beta.2 subunits contribute to tetanic fade in the rat isolated

diaphragm

AUTHOR (S):

Faria, Miguel; Oliveira, Laura; Timoteo, M. Alexandrina; Lobo, M. Graca; Correia-De-Sa,

Paulo

CORPORATE SOURCE:

Laboratorio de Farmacologia, Unidade

Multidisciplinary de Investigação Biomedica (UMIB), Instituto de Ciencias Biomedicas de Abel Salazar (ICBAS), Universidade do Porto, Oporto,

4099-003, Port.

SOURCE:

Synapse (New York, NY, United States) (2003),

49(2), 77-88

CODEN: SYNAET; ISSN: 0887-4476

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE:

Journal English LANGUAGE:

Nicotinic receptor (nAChR) subtypes involved in pre- and AB postjunctional actions underlying tetanic fade were studied in rat phrenic-nerve hemidiaphragms. We investigated the ability of subtype-specific nAChR antagonists to depress nerve-evoked contractions and [3H]-acetylcholine ([3H]-ACh) release. Muscle tension was transiently increased during brief high frequency trains (50 Hz for 5 s). The rank potency order of nAChR antagonists to reduce tetanic peak tension was .alpha.-bungarotoxin > d-tubocurarine " mecamylamine " hexamethonium. Redn. of maximal tetanic tension produced by dihydro-.beta.-erythroidine (0.03-10) .mu.M), methyllycaconitine (0.003-3 .mu.M), and .alpha.-conotoxin MII (0.001-0.3 .mu.M) did not exceed 30%. Besides redn. of peak tension d-tubocurarine (0.1-0.7 .mu.M), mecamylamine (0.1-300 .mu.M), and hexamethonium (30-3,000 .mu.M) also caused tetanic fading. With .alpha.-conotoxin MII (0.001-0.3 .mu.M) and dihydro-.beta.-erythroidine (0.03-10 .mu.M), tetanic fade was evident only after decreasing the safety factor of neuromuscular transmission (with high magnesium ions, 6-7 mM). The antagonist rank potency order to reduce evoked (50 Hz for 5 s) [3H]-ACh release from motor nerve terminals was .alpha.-conotoxin MII (0.1 .mu.M) >

dihydro-.beta.-erythroidine (1 .mu.M) .apprx. d-tubocurarine (1 .mu.M) > mecamylamine (100 .mu.M) > hexamethonium (1,000 .mu.M). When applied in a concn. (0.3 .mu.M) above that producing tetanic paralysis, .alpha.-bungarotoxin failed to affect [3H]-ACh release. Data obtained suggest that postjunctional neuromuscular relaxants interact with .alpha.-bungarotoxin-sensitive nicotinic receptors .contg. .alpha.1-subunits, whereas blockade of neuronal .alpha.3.beta.2-contg. receptors produce tetanic fade by breaking nicotinic auto facilitation of acetylcholine release.

IT 60-40-2, Mecamylamine

(nAChR antagonist; blockade of nicotinic receptors contg. .alpha.3.beta.2 subunits reduces Ach release triggered by high-frequency trains and tetanic tension of rat isolated diaphragm)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 2-8 (Mammalian Hormones)

IT Abdominal diaphragm

Muscle contraction
Muscle relaxants

Neuromuscular junction

(blockade of nicotinic receptors contg. .alpha.3.beta.2 subunits reduces Ach release triggered by high-frequency trains and tetanic tension of rat isolated diaphragm)

IT 57-94-3 60-26-4, Hexamethonium 60-40-2, Mecamylamine 11032-79-4, .alpha.-Bungarotoxin 21019-30-7, Methyllycaconitine 23255-54-1, Dihydro-.beta.-erythroidine 175735-93-0, .alpha.-Conotoxin MII

(nAChR antagonist; blockade of nicotinic receptors contg. .alpha.3.beta.2 subunits reduces Ach release triggered by high-frequency trains and tetanic tension of rat isolated diaphragm)

REFERENCE COUNT:

60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:107915 HCAPLUS

DOCUMENT NUMBER:

136:156476

TITLE:

Exo-S-mecamylamine formulation for therapeutic

uses

INVENTOR(S):

Shytle, Douglas; Sanberg, Paul; Newman, Mary;

Silver, Archie A.

PATENT ASSIGNEE(S):

University of South Florida, USA

SOURCE:

U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of

Appl. No. PCT/US99/30153.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

4

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			ID DATE	E	APPI		DATE		
	US 2002	- 016371	A1	. 2002	20207	US 2	001-8829	35		00106 .5
	US 6734	215	B2	2004	0511				_	.5
	WO 2000	035279	. A1	. 2000	0622	WO 1	.999-US30	153		.99912 .6
	₩:	DE, DK, IS, JP,	EE, ES, KE, KG,	FI, GB, KP, KR,	GD, KZ,	GE, GH, LC, LK,	BY, CA, GM, HR, LR, LS, RO, RU,	HU, I	CN, CU, ID, IL, LU, LV,	CZ, IN, MD,
;		GH, GM, DE, DK, BJ, CF,	KE, LS, ES, FI, CG, CI,	MW, SD, FR, GB, CM, GA,	SL, GR, GN,	SZ, TZ, IE, IT, GW, ML,	UZ, VN, UG, ZW, LU, MC, MR, NE, 005-2489	AT, I NL, I SN, 3	BE, CH, PT, SE,	-
					,0313		003 2103			.99912 .6
·.·	R:		CH, DE, FI, CY	DK, ES,	FR,	GB, GR,	IT, LI,	LU, 1	NL, SE,	MC,
		044083	A1	2004	:0304	US 2	003-4419	47		00309
PRIO	RITY APP	LN. INFO	.:			US 1	998-1125	34P		99812
	,					WO 1	999-US30	153		99912 6

EP 1999-967401

A3

199912

16

US 2001-882935

A1 200106

15

AB A pharmaceutical compn., suitable for administration by i.v., transdermal, intrathecal, oral, i.m., and bolus injection route, comprises substantially pure exo-S-mecamylamine or its salt, with <5% of exo-R-mecamylamine. The amt. of exo-S-mecamylamine in the compn. is about 0.5-1000 mg. The compn. is useful for the treatment of medical conditions that include but are not limited to substance addiction (involving nicotine, cocaine, alc., amphetamine, opiate, or other psychostimulants), aiding smoking cessation, treating wt. gain assocd. with smoking cessation, hypertension, tremors, cancer, atherogenic profile, neuropsychiatric disorders, chronic fatique syndrome, Crohn's disease, autonomic dysreflexia, and spasmogenic intestinal disorders. For example, mecamylamine and its stereoisomers potently block nicotine-induced seizures in rats, with exo-S-mecamylamine displaying an overall higher therapeutic index over exo-R-mecamylamine.

IT 107538-05-6

(compns. contg. exo-S-mecamylamine for treatment of drug dependence and other disorders)

RN 107538-05-6 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1R,2S,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 107538-06-7P

(compns. contg. exo-S-mecamylamine free of exo-R-mecamylamine)

RN 107538-06-7 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1S,2R,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 60-40-2, Mecamylamine

(pharmacol. activity of mecamylamine and its isomers)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

IC ICM A61K031-13

ICS C07C211-34

INCL 514661000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT Intestine, disease

(Crohn's; compns. contg. exo-S-mecamylamine for treatment of drug dependence and other disorders)

IT Intestine, disease

(spasmogenic disorder; compns. contg. exo-S-mecamylamine for treatment of drug dependence and other disorders)

IT **107538-05-6** 107596-30-5

(compns. contg. exo-S-mecamylamine for treatment of drug dependence and other disorders)

IT 107538-06-7P 107596-31-6P

(compns. contg. exo-S-mecamylamine free of exo-R-mecamylamine)

IT **60-40-2**, Mecamylamine 826-39-1, Mecamylamine hydrochloride (pharmacol. activity of mecamylamine and its isomers)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:107914 HCAPLUS

15

DOCUMENT NUMBER:

136:156475

TITLE:

Exo-R-mecamylamine formulations for therapeutic

uses

INVENTOR(S):

Shytle, Douglas; Sanberg, Paul; Newman, Mary;

Silver, Archie A.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of

Appl. No. PCT/US99/30137.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIN	D -	DATE		i	APPL		DATE						
	US 2002016370			A1		20020207		US 2001-882934						200106 15			
	WO 2000035280				A1		20000622		,	WO 1999-US30137						199912 16	
		W:	DE, IS, MG,	DK, JP, MK,	EE, KE, MN,	ES, KG, MW,	FI, KP, MX,	BA, GB, KR, NO, TT,	GD, KZ, NZ,	GE, LC, PL,	GH, LK, PT,	GM, LR, RO,	HR, LS, RU,	HU, LT, SD,	ID, LU, SE,	CU, IL, LV,	CZ, IN, MD,
		RW:	GH, DE,	GM, DK,	KE, ES,	LS, FI,	MW, FR,	SD, GB, GA,	SL, GR,	SZ, IE,	TZ, IT,	UG, LU,	ZW, MC,	AT, NL,	BE, PT,	SE,	
	EP	1634	498			A2		2006	0315	EP 2005-24899						1	00010
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	1	_
PRIOR	RITY	APP	-	-	FI,	CY		T.		τ	JS 1	998-:	1125	34P]	1 1	99812 6
										Ţ	WO 1:	999-1	US30:	137	1	A2 1 1	99912 6
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A pharmaceutical compn., suitable for administration by i.v., AB transdermal, intrathecal, oral, i.m., and bolus injection route, comprises substantially pure exo-R-mecamylamine or its salt, with <5% of exo-S-mecamylamine. The amt. of exo-R-mecamylamine in the compn. is about 0.5-1000 mg. The compn. is useful for the treatment of medical conditions that include but are not limited to substance addiction (involving nicotine, cocaine, alc., amphetamine, opiate, or other psychostimulants), aiding smoking cessation, treating wt. gain assocd. with smoking cessation, hypertension, tremors, cancer, atherogenic profile, neuropsychiatric disorders, chronic fatique syndrome, Crohn's disease, autonomic dysreflexia, and spasmogenic intestinal disorders. For example, pretreatment with mecamylamine and its stereoisomers of rats exposed to nicotine dose-dependently prevented the development of the sensitized locomotor responses to nicotine. Chronic exposure to mecamylamine actually reduced the locomotor response to nicotine to levels below that seen in the saline (control) group. Although both isomers of mecamylamine followed the same general pattern, exo-R-mecamylamine was generally more effective at lower doses, for center distance and vertical activity.

IT 107538-06-7

(compns. contg. exo-R-mecamylamine for treatment of drug dependence and other disorders)

RN 107538-06-7 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1S,2R,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 107538-05-6P

(compns. contg. exo-R-mecamylamine free of exo-S-mecamylamine)

RN 107538-05-6 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1R,2S,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 60-40-2, Mecamylamine

(pharmacol. activity of mecamylamine and its isomers)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

IC ICM A61K031-13

ICS C07C211-34

INCL 514661000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT Intestine, disease

(Crohn's; compns. contg. exo-R-mecamylamine for treatment of drug dependence and other disorders)

IT Intestine, disease

(spasmogenic disorder; compns. contg. exo-R-mecamylamine for treatment of drug dependence and other disorders)

IT 107538-06-7 107596-31-6

(compns. contg. exo-R-mecamylamine for treatment of drug dependence and other disorders)

IT 107538-05-6P 107596-30-5P

(compns. contg. exo-R-mecamylamine free of exo-S-mecamylamine)

IT 60-40-2, Mecamylamine 826-39-1, Mecamylamine hydrochloride (pharmacol. activity of mecamylamine and its isomers)

L17 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:829443 HCAPLUS

DOCUMENT NUMBER: 136:130094

TITLE: Analgesic and Toxic Effects of Neonicotinoid

Insecticides in Mice

AUTHOR(S):

SOURCE:

CORPORATE SOURCE:

Tomizawa, Motohiro; Cowan, Alan; Casida, John E.

Environmental Chemistry and Toxicology

Laboratory, Department of Environmental Science,

Policy, and Management, University of California, Berkeley, CA, 94720-3112, USA

Toxicology and Applied Pharmacology (2001),

177(1), 77-83

CODEN: TXAPA9; ISSN: 0041-008X

PUBLISHER: Academic Press

DOCUMENT TYPE: LANGUAGE:

Journal English

Several nicotinic agonists with the 6-chloro-3-pyridinyl moiety are AB potent insecticides (e.g., the neonicotinoids imidacloprid and thiacloprid) while others are candidate nonopioid and nonantiinflammatory analgesics (i.e., epibatidine and several heterocyclic analogs). This study examines the hypothesis for the first time that the neonicotinoid insecticides and their imine metabolites and analogs display analgesic (antinociceptive) activity or adverse toxic effects assocd. with their action on binding to the .alpha.4.beta.2 nicotinic acetylcholine receptor (AChR) subtype. Seven 6-chloro-3-pyridinyl compds. were studied, i.e., imidacloprid and thiacloprid, the corresponding imines and an olefin deriv., a nitromethylene analog, and (.+-.)-epibatidine. Like (-)-nicotine and carbachol, they all act as full agonists in the 86rubidium ion. efflux expt. with intact mouse fibroblast M10 cells stably expressing the .alpha.4.beta.2 nicotinic AChR. Their agonist action is correlated with binding affinity to the .alpha.4.beta.2 receptor from M10 cells. Imidacloprid, thiacloprid, and their imine analogs are not antinociceptive agents in mice by abdominal constriction and hot plate analgesic tests. Their agonist actions at the .alpha.4.beta.2 receptor correlate instead with their toxicity. Surprisingly, the nitromethylene analog, a weak agonist, is as potent as (-)-nicotine in inducing antinociception, and the effect persists longer than that caused by (-)-nicotine. However, mecamylamine (1 mg/kg) prevents antinociception induced by (-)-nicotine but not by the nitromethylene analog. Interestingly, this nitromethylene neonicotinoid insecticide gives 80-100% mortality within 15 min at 3 mg/kg with mecamylamine pretreatment at 2 mg/kg, doses at which each agent alone gives no lethality. Therefore, analgesic and toxic effects of the nitromethylene analog differ in their mechanism of action from (-)-nicotine and (.+-.)-epibatidine. (c) 2001 Academic Press.

IT 60-40-2, Mecamylamine

(analgesic and toxic effects of neonicotinoid insecticides in mice)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 4-4 (Toxicology)

IT Muscle

(abdominal; analgesic and toxic effects of neonicotinoid insecticides in mice)

IT 60-40-2, Mecamylamine

(analgesic and toxic effects of neonicotinoid insecticides in mice)

REFERENCE COUNT:

THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:338762 HCAPLUS

DOCUMENT NUMBER:

134:362292

TITLE:

Methods of determining individual

hypersensitivity to a pharmaceutical agent from

gene expression profile

INVENTOR(S):

Farr, Spencer

PATENT ASSIGNEE(S):

Phase-1 Molecular Toxicology, USA

SOURCE:

PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIN	KIND DATE			1	APPL	DATE						
WO 20010) (.	2001	0510	1	WO 2	000-1	US30	474								
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WO 2001	0329	28		A 3		2002	0725									
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	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KZ,	LC,	LK,	
	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	
	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	

UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD,

TG

PRIORITY APPLN. INFO.:

US 1999-165398P

199911

05

US 2000-196571P P

200004 11

AB The invention discloses methods, gene databases, gene arrays, protein arrays, and devices that may be used to det. the hypersensitivity of individuals to a given agent, such as drug or other chem., in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes assocd. with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes assocd. with hypersensitivity are The gene expression profile of the subject may be disclosed. compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA. or The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes assocd. with hypersensitivity. The expression of the genes predetd, to be assocd. with hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene

IT 60-40-2, Mecamylamine

a subject are also disclosed.

(methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile)

databases arrays and app. useful for identifying hypersensitivity in

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

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NHMe
       Me
      Me
     Me
IC
     ICM C12Q001-68
     ICS G01N033-50
     3-4 (Biochemical Genetics)
CC
     Section cross-reference(s): 1, 6, 7, 13, 15
IT
     Intestine
        (colon; methods of detg. individual hypersensitivity to
        a pharmaceutical agent from gene expression profile)
IT
     Gene, animal
        (colony stimulating factor 1; methods of detg.
        individual hypersensitivity to a pharmaceutical agent from gene
        expression profile)
                                                                    . :
IT
     Intestine
        (goblet cell; methods of detg. individual hypersensitivity to a
       pharmaceutical agent from gene expression profile)
ΙT
     Aging, animal
     Allergy ·
    Apparatus
    Astrocyte
    Bone
    Brain
    Bronchodilators
     Computer program
    DNA microarray technology
    Digestive tract
    Dione
    Drugs
     Eye
     Fibroblast
    Gallbladder
    Hepatitis
    Hyperplasia
    Hypertension
    Hypotension
     Immunosuppression
     Inflammation
       Intestine
    Jaundice
    Kidney
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Leukemia

Leukocyte Liver Macrophage Mast cell Muscle Mutagenesis Necrosis Nucleic acid hybridization Oligodendrocyte Ovary Pancreas Plantago psyllium Podophyllum (plant) Sex Skin Spleen Statistical analysis Stomach Testis Thyroid gland (methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile) Macrophage colony-stimulating factor receptors (methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile) Intestine (rectum; methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile) 50-02-2, Dexamethasone 50-06-6, Phenobarbital, biological studies 50-18-0, Cyclophosphamide 50-23-7, Hydrocortisone 50-24-8, Prednisolone 50-28-2, Estradiol, biological studies 50-44-2, 50-48-6, Amitriptyline 50-55-5, Reserpine 6-Thiopurine 50-76-0, Actinomycin D 50-78-2, Aspirin 51-06-9, Procainamide 51-21-8, Fluorouracil 51-34-3, Scopolamine 51-48-9, Levothyroxine, biological studies 51-49-0, Dextrothyroxine 51-55-8, Atropine, biological studies 51-75-2, Mechlorethamine 52-01-7, Spironolactone 52-53-9, Verapamil 52-67-5, 52-86-8, Haloperidol 53-03-2, Prednisone Penicillamine 53-06-5, Cortisone 53-19-0, Mitotane 53-33-8, Paramethasone 53-86-1, Indomethacin 54-05-7, Chloroquine 54-11-5, Nicotine 54-31-9, Furosemide 54-36-4, Metyrapone 54-85-3, Isoniazid 55-63-0, Nitroglycerin 55-65-2, Guanethidine 55-98-1, Busulfan 56-54-2, Quinidine 56-75-7, Chloramphenicol 57-22-7, Vincristine 57-41-0, Phenytoin 57-53-4, Meprobamate 57-63-6, Ethinyl estradiol 57-66-9, Probenecid 57-83-0, Progestin, biological studies 57-96-5, Sulfinpyrazone 58-05-9, Leucovorin Pyrimethamine 58-32-2, Dipyridamole 58-39-9, Perphenazine 58-54-8, Ethacrynic acid 58-55-9, Theophylline, biological studies

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58-74-2, Papaverine 58-61-7, Adenosine, biological studies 58-93-5, Hydrochlorothiazide 58-94-6, Thiazide 59-05-2, 59-42-7, Phenylephrine 59-43-8, Thiamine, Methotrexate 59-92-7, Levodopa, biological studies biological studies 59-99-4, Neostigmine **60-40-2**, Mecamylamine 60-54-8, Tetracycline 60-79-7, Ergonovine 60-87-7, Promethazine 61-32-5, Methicillin 61-72-3, Cloxacillin 64-75-5, Tetracycline hydrochloride 64-77-7, Tolbutamide 64-86-8, Colchicine 65-23-6, Pyridoxine 66-79-5, Oxacillin 66-97-7, Psoralen 67-20-9, Nitrofurantoin 67-45-8, Furazolidone 67-68-5, Dimethyl sulfoxide, biological studies 68-22-4D, Norethindrone, mixt. with ethinyl estradiol 68-41-7, Cycloserine 68-88-2, Hydroxyzine 69-53-4, Ampicillin 69-72-7, biological studies 69-89-6, 73-24-5, 6-Aminopurine, biological studies Xanthine 73 - 31 - 4, 76-42-6, Oxycodone 76-57-3, Codeine 77-09-8, Melatonin Phenolphthalein 77-19-0, Dicyclomine 77-36-1, Chlorthalidone 78-44-4, Carisoprodol 80-08-0, Dapsone 81-23-2, Dehydrocholic 82-92-8, Cyclizine 82-95-1, Buclizine acid 81-81-2, Warfarin 83-43-2, Methylprednisolone 83-73-8, Iodoquinol 83-89-6, Ouinacrine 83-98-7, Orphenadrine 86-54-4, Hydralazine 89-57-6, Mesalamine 90-34-6, Primaquine 90-82-4, Pseudoephedrine 92-13-7, Pilocarpine 92-84-2, Phenothiazine 91-64-5, Coumarin 93-14-1, Guaifenesin 94-20-2, Chlorpropamide 94-36-0, Benzoyl peroxide, biological studies 94-78-0, Phenazopyridine 95-25-0, 96-64-0, Soman 97-77-8, Disulfiram Chlorzoxazone 99-66-1, Valproic acid 100-33-4, Pentamidine 100-97-0, Methenamine, biological studies 101-31-5, Hyoscyamine 103-90-2, Acetaminophen 113-18-8, Ethchlorvynol 113-42-8, Methylergonovine 113-45-1, Methylphenidate 114-07-8, Erythromycin 114-86-3, Phenformin 118-42-3, Hydroxychloroquine 122-09-8, Phentermine 123-63-7, Paraldehyde 124-94-7, Triamcinolone Succinimide 125-29-1, Hydrocodone 125-33-7, Primidone 125-64-4, Methyprylon 125-71-3, Dextromethorphan 125-84-8, Aminoglutethimide 126-52-3, Ethinamate Griseofulvin 127-07-1, Hydroxyurea 127-69-5, Sulfisoxazole 128-13-2, Ursodiol 130-95-0, Quinine 132-17-2, Benztropine 133-10-8, Sodium p-aminosalicylate 137-58-6, Lidocaine 138-56-7, Trimethobenzamide 147-52-4, Nafcillin 147-94-4, AraC Trihexyphenidyl 148-82-3, 154-42-7, Thioguanine Melphalan 154-21-2, Lincomycin 155-97-5, Pyridostigmine 298-46-4, Carmustine 5H-Dibenz[b,f]azepine-5-carboxamide 298-50-0, Propantheline 299-42-3, Ephedrine 300-62-9D, Amphetamine, mixed 300-62-9D, Amphetamine, mixed salts 302-17-0, Chloral hydrate Tretinoin 303-53-7, Cyclobenzaprine 305-03-3, Chlorambucil 315-30-0, Allopurinol 321-64-2, Tacrine 346-18-9, Polythiazide 361-37-5, Methysergide 363-24-6, Dinoprostone 364-62-5, Metoclopramide 378-44-9, Betamethasone 389-08-2, Nalidixic acid 395-28-8, Isoxsuprine 439-14-5, Diazepam 443-48-1, Metronidazole

456-59-7, Cyclandelate 446-86-6, Azathioprine Hydantoin 463-04-7, Amyl nitrite 469-62-5, Propoxyphene 474-25-9, Chenodiol 480-30-8, Dichloralphenazone 484-23-1, 503-01-5, Isometheptene 512-15-2, Cyclopentolate Dihydralazine 525-66-6, Propranolol 520-85-4, Medroxyprogesterone 526-36-3, Xylometazoline 536-33-4, Ethionamide 541-15-1, Levocarnitine 546-88-3, Acetohydroxamic acid 555-30-6, Methyl dopa 564-25-0, 569-65-3, Meclizine 577-11-7, Docusate sodium Doxycycline 596-51-0, Glycopyrrolate 599-79-1, Sulfasalazine 603-50-9, 634-03-7, Phendimetrazine 637-07-0, Clofibrate Bisacodyl 657-24-9, Metformin 671-16-9, Procarbazine 672-87-7, Metyrosine 674-38-4, Bethanechol 723-46-6, Sulfamethoxazole 738-70-5, 745-65-3, Alprostadil 791-35-5, Chlophedianol Trimethoprim 797-63-7, Levonorgestrel 797-64-8D, L-Norgestrel, ethinyl 846-49-1, Lorazepam 846-50-4, Temazepam estradiol mixt. 911-45-5, Clomiphene 915-30-0, Diphenoxylate 962-58-3, Diazoxon 968-93-4, Testolactone 972-02-1, Diphenidol 990-73-8, Fentanyl 1134-47-0, Baclofen 1143-38-0, Anthralin 1321-13-7, 1397-89-3, Amphotericin B Potassium aminobenzoate 1400-61-9, 1404-04-2, Neomycin 1404-04-2D, Neomycin, mixt. with Nystatin polymx/HC 1404-90-6, Vancomycin 1406-05-9, Penicillin 1491-59-4, Oxymetazoline 1622-61-3, Clonazepam 1953-02-2, Tiopronin 1977-10-2, Loxapine 2152-34-3, Pemoline 2451-01-6, Terpin Betamethasone valerate 2447-57-6, Sulfadoxine hydrate 2609-46-3, Amiloride 2809-21-4 2998-57-4, Estramustine 3116-76-5, Dicloxacillin 3313-26-6, Thiothixene 3385-03-3, Flunisolide 3485-14-1, Cyclacillin 3737-09-5, Disopyramide 3778-73-2, Iphosphamide 3930-20-9, Sotalol 4205-90-7, Clonidine (methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile) 107-97-1, Sarcosin 447-41-6, Nylidrin 8056-51-7 9000-86-6 9000-97-9 9001-05-2, Catalase Alanine aminotransferase 9001-40-5, Glucose-6-phosphate dehydrogenase 9001-48-3, Glutathione reductase 9001-50-7, Glyceraldehyde 3-phosphate dehydrogenase 9001-62-1, Hepatic lipase 9001-84-7, Phospholipase 9002-03-3, Dihydrofolate reductase 9002-06-6, Thymidine 9002-12-4, Urate oxidase 9002-67-9, Luteinizing hormone 9003-99-0, Myeloperoxidase 9012-25-3, Catechol-O-methyltransferase 9012-38-8, PAPS synthetase 9012-39-9 9012-52-6, S-Adenosylmethionine synthetase 9013-08-5, Phosphoenolpyruvate carboxykinase 9013-18-7, Fatty acyl-CoA synthetase Dopamine .beta.-hydroxylase 9013-66-5, Glutathione peroxidase 9014-55-5, Tyrosine 9013-79-0, Neuropathy target esterase aminotransferase 9015-71-8, Corticotropin releasing hormone 9015-81-0, 17-.beta. Hydroxysteroid dehydrogenase 9016-12-0; Hypoxanthine-guanine phosphoribosyltransferase 9023-44-3, Tryptophanyl-tRNA synthetase 9023-62-5, Glutathione synthetase 9023-64-7, .gamma.-Glutamylcysteinyl synthetase 9023-70-5,

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9024-60-6, Ornithine decarboxylase Glutamine synthetase 9024-61-7, Histidine decarboxylase 9025-32-5, Prolidase 9026-00-0, Cholesterol esterase 9026-09-9, Phenol sulfotransferase 9026-51-1, Nucleoside diphosphate kinase 9026-43-1, Serine kinase 9027-13-8, Enoyl-CoA hydratase 9027-65-0, Acyl-CoA dehydrogenase 9028-06-2 9028-31-3, Aldose reductase 9028-35-7, HMG CoA 9028-41-5, Hydroxyacyl-Coenzyme A dehydrogenase reductase 9028-86-8, Aldehyde dehydrogenase 9029-73-6, Phenyl alanine hydroxylase 9029-80-5, Histamine N-methyltransferase 9031-37-2, Ceruloplasmin 3-Ketoacyl-CoA thiolase 9031-54-3, Sphingomyelinase 9031-61-2, Thymidylate synthase 9031-72-5, Alcohol dehydrogenase 9032-20-6, DT-Diaphorase 9032-76-2 9036-22-0, Tyrosine 9035-58-9, Blood-coaquiation factor III 9037-21-2, Tryptophan hydroxylase 9037-62-1, Glycyl hydroxylase tRNA synthetase 9039-06-9, NADPH cytochrome P450 reductase 9040-57-7, Ribonucleotide reductase 9041-92-3 9045-77-6, Fatty 9046-27-9, .gamma.-Glutamyl transpeptidase acid synthase 9048-63-9, Epoxide hydrolase 9055-67-8, Poly(ADP-ribose)polymerase 9059-25-0, Lysyl oxidase 9068-41-1, Carnitine palmitoyltransferase 9074-02-6, Malic enzyme 9074-10-6, Biliverdin reductase 9074-19-5, Hydratase 9074-87-7, .gamma.-Glutamyl hydrolase 9081-36-1, 25-Hydroxyvitamin D3 1-hydroxylase 11096-26-7, Erythropoietin 37205-63-3, ATP synthase 37237-44-8, Glucosylceramide synthase 37289-06-8, Acid ceramidase 37292-81-2, Cytochrome p 450 11A1 37318-49-3, Protein disulfide 39391-18-9, Prostaglandin H synthase 56093-23-3; isomerase .alpha.-1,2-Fucosyl transferase 56645-49-9, Cathepsin G 59536-73-1, Phosphomannomutase 59536-74-2, Very long-chain acyl-CoA dehydrogenase 60267-61-0, Ubiquitin 60616-82-2, 61116-22-1, Fatty acyl-CoA oxidase 62229-50-9, Epidermal growth factor 67339-09-7, Thiopurine methyltransferase 67763-96-6, Insulin-like growth factor 1 67763-97-7, Insulin-like 77271-19-3, 6-O-Methylguanine-DNA growth factor II 77847-96-2, Prostacyclin-stimulating factor methyltransferase 79747-53-8, Protein tyrosine phosphatase 79955-99-0, Stromelysin-1 80146-85-6, Tissue Transglutaminase 80295-41-6, Complement component C3 81627-83-0, Colony stimulating factor -1 82391-43-3, 12-Lipoxygenase 83268-44-4 83869-56-1, Granulocyte-macrophage colony-stimulating factor 85637-73-6, Atrial natriuretic factor 87397-91-9, Thymosin 88943-21-9, Proteinase .alpha.1-inhibitor III .beta.10 89964-14-7, Prothymosin, alpha 90698-26-3, Ribosomal protein S6 96024-44-1, Granulin 105238-46-8, Macropain 106096-92-8, Fibroblast growth factor, acidic 106956-32-5, 112130-98-0, Procathepsin L 114949-22-3, Activin Oncostatin M 117698-12-1, Paraoxonase 119418-04-1, Galanin 122191-40-6, Caspase-1 123626-67-5, Endothelin-1 125978-95-2, Nitric oxide synthase 127464-60-2, Vascular endothelial growth

137632-07-6, Extracellular-signal-regulated kinase 1 138238-81-0, Endothelin converting enzyme-1 140208-24-8, Tissue inhibitor of metalloproteinase-1 141176-92-3 141349-86-2, Cyclin 141436-78-4, Protein kinase C dependent kinase 2 142243-03-6, Plasminogen activator inhibitor 2 142805-56-9, DNA topoisomerase ΙI 142805-58-1, MAP kinase kinase 143180-75-0, DNA topoisomerase 143375-65-9, Cyclin dependent kinase 1 145809-21-8, Tissue inhibitor of metalloproteinase-3 146480-35-5, Matrix metalloproteinase-2 147014-97-9, Cyclin dependent kinase 4 148348-15-6, Fibroblast growth factor 7 149316-81-4, Branched chain acyl-CoA oxidase 149371-05-1, Kinase (phosphorylating), gene c-abl protein 149885-78-9, Hepatocyte growth factor activator 154907-65-0, Checkpoint kinase 155807-64-0, FEN-1 Endonuclease 165245-96-5, p38 Mitogen-activated protein kinase 169592-56-7, 179241-70-4, Protein kinase ZPK 179241-78-2, CPP32 proteinase Caspase 8 182372-14-1, Caspase 2 182372-15-2, Caspase 6 189258-14-8, Caspase 7 182762-08-9, Caspase 4 192465-11-5, 193363-12-1, Vascular endothelial growth factor D 194554-71-7, Tissue factor pathway inhibitor 205944-50-9, 220983-94-8, Sorbitol dehydrogenase Osteoprotegerin 289898-51-7, JNK1 protein kinase 303752-61-6, DNA dependent protein kinase 329736-03-0, Cytochrome p450 3A4 329764-85-4, Cytochrome p450 1A1 329900-75-6, Cyclooxygenase 2 329978-01-0, Cytochrome p450 2C9 330196-64-0, Cytochrome p450 1A2 330196-93-5, Cytochrome p450 2E1 330207-10-8, Cytochrome p450 2B1 330589-90-7, Cytochrome p450 2C19 330596-22-0, Cytochrome p450 1B1 330597-62-1, Cytochrome p450 2D6 330975-22-9, Macrostatin 331462-97-6, Cytochrome p450 2B2 331462-98-7, Cytochrome p450 3A1 331823-00-8, Cytochrome p450 2C11 331823-12-2, Cytochrome p450 2C12 331823-27-9, Cytochrome p450 2A1 332847-52-6, Cytochrome p450 4A 331827-06-6, Cytochrome p450 2A6 336884-26-5, Cytochrome p450 2B10 338964-08-2, P 450 17A 338969-62-3, P 450 2A3 338969-69-0, P 450 2F2 338969-71-4, P 450 4A1

(methods of detg. individual hypersensitivity to a pharmaceutical agent from gene expression profile)

L17 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:420906 HCAPLUS

DOCUMENT NUMBER:

133:53722

TITLE:

Exo-R-mecamylamine formulation and use in

treatment

INVENTOR(S):

Shytle, Douglas; Sanberg, Paul; Newman, Mary;

Silver, Archie

PATENT ASSIGNEE(S):

University of South Florida, USA

SOURCE:

PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

T TYPE: Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

	PATENT NO.					KIN	D -	DATE		APPLICATION NO.							DATE	
	WO	2000	- 0352	80		A1		2000	0622	V	WO 1:	999-1	US30:	137	•		199912	
			DE, IS, MG, SK, GH, DE, BJ,	DK, JP, MK, SL, GM, DK, CF,	EE, KE, MN, TJ, KE, ES,	ES, KG, MW, TM, LS, FI, CI,	FI, KP, MX, TR, MW, FR, CM,	GB, KR, NO, TT, SD, GB,	GD, KZ, NZ, UA, SL, GR, GN,	GE, LC, PL, UG, SZ, IE, GW,	GH, LK, PT, US, TZ, IT, ML,	GM, LR, RO, UZ, UG, LU, MR,	HR, LS, RU, VN, ZW, MC, NE,	HU, LT, SD, YU, AT, NL, SN,	ID, LU, SE, ZW BE, PT,	LV SC CH SE	I, CY, E, BF,	
									•								199912 16	
	EP	1139°	AT,	BE,	CH,	DE,	DK,		FR,						NL,	SE	199912 16 C, MC,	
	JP	2002								j	JP 20	000-	5876	09			199912 16	
	EP	1634	498			A2		2006	0315	F	EP 20		2489:	9			199912 16	
			PT,	ΙE,	FI,	CY									NL,	SE	, MC,	
	US	2002	0163	70	ı	Al		2002	0207	ι	JS 20	001-	8829	34			200106 15	
PRIOF	RITY	(APP	LN.	INFO	.:					Ţ	JS 19	998-:	1125	34P	.]		199812 16	
										F	EP 19	999-	9674	01	i	A 3	199912 16	
										V	70 1 <u>9</u>	999-1	US30:	137	7	Ŋ	199912 16	

A pharmaceutical compn. includes a therapeutically effective amt. of AB exo-R-mecamylamine or a pharmaceutically acceptable salt thereof, substantially free of exo-S-mecamylamine, in combination with a pharmaceutically acceptable carrier. Preferably the amt. is about 0.5 mg to about 20 mg. Medical conditions are treated by administering a therapeutically effective amt. of exo-R-mecamylamine, or a pharmaceutically acceptable salt thereof, substantially free of its exo-S-mecamylamine, said amt. being sufficient to ameliorate the medical condition. conditions include but are not limited to substance addiction (involving nicotine, cocaine, alc., amphetamine, opiate, other psychostimulant and a combination thereof), aiding smoking cessation, treating wt. gain assocd. with smoking cessation, hypertension, hypertensive crisis, Tourette's Syndrome and other tremors, cancer (such as small cell lung cancer), atherogenic profile, neuropsychiatric disorders (such as bipolar disorder, depression, an anxiety disorder, schizophrenia, a seizure disorders, Parkinson's disease and attention deficit hyperactivity disorder), chronic fatique syndrome, Crohn's disease, autonomic dysreflexia, and spasmogenic intestinal disorders.

IT 60-40-2, Mecamylamine

(exo-R-mecamylamine formulation and therapeutic use)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

IT 107538-05-6 107538-06-7

(exo-R-mecamylamine formulation and therapeutic use)

RN 107538-05-6 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1R,2S,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 107538-06-7 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1S,2R,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IC ICM A01N033-18

ICS A01N033-24

CC 1-12 (Pharmacology)

Section cross-reference(s): 63

ST mecamylamine isomer pharmaceutical therapeutic; drug addiction treatment mecamylamine isomer; wt gain smoking cessation mecamylamine isomer; hypertension Tourette syndrome cancer mecamylamine isomer; cardiovascular neuropsychiatric gastrointestinal disease mecamylamine isomer

IT Intestine, disease

(Crohn's; exo-R-mecamylamine formulation and therapeutic use)

IT Drugs

(gastrointestinal; exo-R-mecamylamine formulation and therapeutic use)

IT Intestine, disease

(spasmogenic; exo-R-mecamylamine formulation and therapeutic use)

IT 60-40-2, Mecamylamine

(exo-R-mecamylamine formulation and therapeutic use)

IT 107538-05-6 107538-06-7

(exo-R-mecamylamine formulation and therapeutic use)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN

THE RE FORMAT

L17 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

1

ACCESSION NUMBER:

2000:420905 HCAPLUS

DOCUMENT NUMBER:

133:53721

TITLE:

Exo-S-mecamylamine formulation and use in

treatment

INVENTOR(S):

Shytle, Douglas; Sanberg, Paul; Newman, Mary;

Silver, Archie

PATENT ASSIGNEE(S):

University of South Florida, USA

SOURCE:

PCT Int. Appl., 39 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2000035279	A1 2000062	2 WO 1999-US30153	199912
DE, DK, EE, IS, JP, KE, MG, MK, MN,	ES, FI, GB, GI KG, KP, KR, KZ MW, MX, NO, NZ	BG, BR, BY, CA, CH, CN, GE, GH, GM, HR, HU, ID, LC, LK, LR, LS, LT, LU, PL, PT, RO, RU, SD, SE	, IL, IN, , LV, MD, , SG, SI,
RW: GH, GM, KE, DE, DK, ES,	LS, MW, SD, SI FI, FR, GB, GR	., UG, US, UZ, VN, YU, ZW , SZ, TZ, UG, ZW, AT, BE , IE, IT, LU, MC, NL, PT , GW, ML, MR, NE, SN, TD	, CH, CY, , SE, BF,
CA 2393437	AA 2000062	2 CA 1999-2393437	199912
EP 1139743	A1 2001101	0 EP 1999-967401	16 199912 16
	DE, DK, ES, FR LT, LV, FI, RC	, GB, GR, IT, LI, LU, NL	
JP 2002532392		2 JP 2000-587608	199912 16
EP 1634498	A2 2006031	5 EP 2005-24899	199912 16
R: AT, BE, CH, PT, IE, FI,		, GB, GR, IT, LI, LU, NL	
US 2002016371		7 US 2001-882935	200106 15
US 6734215	B2 2004051	1 .	

US 2004044083	A1	20040304	US	2003-441947		
PRIORITY APPLN. INFO.:			US	1998-112534P	P	200309
						199812 16
			EP	1999-967401	А3	100012
						199912 16
			WO	1999-US30153	W	100010
(¥) •						199912 16
			US	2001-882935	A1	
						200106 15

AB A pharmaceutical compn. includes a therapeutically effective amt. of exo-S-mecamylamine or a pharmaceutically acceptable salt thereof, substantially free of exo-R-mecamylamine, in combination with a pharmaceutically acceptable carrier. Preferably the amt. is about 0.5 mg to about 20 mg. Medical conditions are treated by administering a therapeutically effective amt. of exo-S-mecamylamine, or a pharmaceutically acceptable salt thereof, substantially free of exo-R-mecamylamine, the amt. being sufficient to ameliorate the medical condition. The medical conditions include but are not limited to substance addiction (involving nicotine, cocaine, alc., amphetamine, opiate, other psychostimulant and a combination thereof), aiding smoking cessation, treating wt. gain assocd. with smoking cessation, hypertension, hypertensive crisis, Tourette's Syndrome and other tremors, cancer (such as small cell lung cancer), atherogenic profile, neuropsychiatric disorders (such as bipolar disorder, depression, an anxiety disorder, schizophrenia, a seizure disorder, Parkinson's disease and attention deficit hyperactivity disorder), chronic fatigue syndrome, Crohn's disease, autonomic dysreflexia, and spasmogenic intestinal disorders.

IT 60-40-2, Mecamylamine

(exo-S-mecamylamine formulation and therapeutic use)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

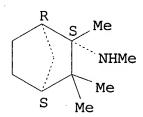
IT 107538-05-6 107538-06-7

(exo-S-mecamylamine formulation and therapeutic use)

RN 107538-05-6 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1R,2S,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 107538-06-7 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl-, (1S,2R,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IC ICM A01N033-02

CC 1-12 (Pharmacology)

Section cross-reference(s): 63

ST mecamylamine isomer pharmaceutical therapeutic; drug addiction treatment mecamylamine isomer; wt gain smoking cessation mecamylamine isomer; hypertension Tourette syndrome cancer mecamylamine isomer; cardiovascular neuropsychiatric gastrointestinal disease mecamylamine isomer

IT Intestine, disease

(Crohn's; exo-S-mecamylamine formulation and therapeutic use)

IT Drugs

> (qastrointestinal; exo-S-mecamylamine formulation and therapeutic use)

IT Intestine, disease

(spasmogenic; exo-S-mecamylamine formulation and therapeutic use)

60-40-2, Mecamylamine IT

(exo-S-mecamylamine formulation and therapeutic use)

107538-05-6 107538-06-7 IT

(exo-S-mecamylamine formulation and therapeutic use)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN

THE RE FORMAT

L17 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

1

ACCESSION NUMBER:

2000:74167 HCAPLUS

DOCUMENT NUMBER:

132:206290

TITLE:

Role of the enteric nervous system in the fluid

and electrolyte secretion of rotavirus diarrhea

AUTHOR(S):

Lundgren, Ove; Peregrin, Attila Timar; Persson,

Kjell; Kordasti, Shirin; Uhnoo, Ingrid;

Svensson, Lennart

CORPORATE SOURCE:

Department of Physiology, Goteborg University,

Goteborg, S-405 30, Swed.

SOURCE:

Science (Washington, D. C.) (2000), 287(5452),

491-495

CODEN: SCIEAS; ISSN: 0036-8075

PUBLISHER:

American Association for the Advancement of

Science

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The mechanism underlying the intestinal fluid loss in AB rotavirus diarrhea, which often afflicts children in developing countries, is not known. One hypothesis is that the rotavirus evokes intestinal fluid and electrolyte secretion by activation of the nervous system in the intestinal wall, the enteric nervous system (ENS). 4 Different drugs that inhibit ENS functions were used to obtain exptl. evidence for this hypothesis in mice in vitro and in vivo. The involvement of the ENS in rotavirus diarrhea indicates potential sites of action for drugs in the treatment of the disease.

60-40-2, Mecamylamine IT

(enteric nervous system in the fluid and electrolyte secretion in rotavirus diarrhea)

RN60-40-2 HCAPLUS

Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX CN NAME)

NHMe Me Me Me

CC 14-3 (Mammalian Pathological Biochemistry)

Section cross-reference(s): 1

rotavirus diarrhea intestine nervous system electrolyte; STdrug enteric nervous system rotavirus diarrhea

IT Electrolytes, biological

Intestinal juice

Rotavirus

(enteric nervous system in the fluid and electrolyte secretion in rotavirus diarrhea)

IT 58-55-9, Theophylline, biological studies 60-40-2,

34

Mecamylamine 137-58-6, Lidocaine 4368-28-9, Tetrodotoxin (enteric nervous system in the fluid and electrolyte secretion in rotavirus diarrhea)

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:548534 HCAPLUS

DOCUMENT NUMBER:

129:171769

TITLE:

Pharmaceutical composition for treatment of

synaptic dysfunction comprising an oxime

INVENTOR (S):

Viner, Norman M.

PATENT ASSIGNEE(S):

Synapse Pharmaceuticals International, Inc.,

Can.

2

SOURCE:

PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834615	A 1	19980813	WO 1998-CA94	
			1770 0.171	199802
7				Λ.Γ.

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

		RW:	KE, MN, TJ, UZ, GH, FI,	KG, MW, TM, VN, GM, FR,	KP, MX, TR, YU, KE, GB,	KR, NO, TT, ZW, LS, GR,	KZ, NZ, UA, AM, MW, IE,	LC, PL, UG, AZ, SD, IT,	LK, PT, US, BY, SZ, LU,	LR, RO, US, KG, UG,	LS, RU, US, KZ, ZW, NL,		LU, SE, US, RU, BE,	LV, SG, US, TJ, CH,	MD, SI, US, TM DE,	MG SK US DK	, MK, , SL, , US,
	US	61660	-	CI-1,	-	-		-	-		-	997-7	79725	51			
	US	59004	418			· Д		1999(2504	ī.	IS 1	.997-7	79524	17			199702 07
																	199702 10
	US	59815	549			Α		1999:	1109	Ü	JS 1	.997-8	30180	02			199702
	US	57600	049			Α		19980	0602	υ	JS 1	.997-8	30372	23			14
	US	58246	58'4			Α		19981	1020	Ü	JS 1	.997-8	30372	22			199702 21
																	199702 21
,	US	59028	316			A	:	19990)511	Ü	JS 1	997-8	30372	21			199702
	US	59169	903			Α	:	19990	0629	υ	JS 1	997-8	30727	73			21
	CA	22795	531			. AA		1998(1813	C	1 מי	998-2	2795	531			199702 28
	CII	2275	,,,	•		1111	•		,015					,,,,			199802 05
	ZA	98009	960			A	:	19980	817	Z	ZA 1	998-9	960				199802
	AU	98597	775			A 1	:	19980	0826	A	U 1	998-5	59775	5		ı	05
																	199802 05
	EP	10149	981			A1	7	20000	0705	E	SP 1	998-9	90289	93			199802
			AT, PT,			DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,		05 , MC,
	JP	20015				Т2	2	2001(807	J	rP 1	998-5	3346	56			199802 05
PRIO	RITY	APPI	LN.	NFO.	· :						IS 1	997-7	9725	51	P	2	199702

				07
	US	1997-795247	A2	199702 10
	US	1997-801801	A2	199702 14
	US	1997-801802	A2	199702 14
	US	1997-803719	A2	199702 21
	US	1997-803721	A2	199702 21
-	US	1997-803722	A2	199702 21
	US	1997-803723	A2	199702 21
	US	1997-807273	A2	199702 28
	WO	1998-CA94	W	199802 05

OTHER SOURCE(S): MARPAT 129:171769

AB A pharmaceutical compn. is provided for treatment of chronic symptoms of synaptic dysfunction and related disease disorders comprising an effective amt. of a pharmaceutically acceptable oxime which is physiol. active such as an acetylcholine esterase reactivator optionally in assocn. with an addnl. pharmacol. active agent. The pharmaceutical compn. has wide-ranging applicability in the treatment of withdrawal symptoms due to the cessation of tobacco use, respiratory disease, drug and alc. addiction, disorders of the central and peripheral nervous systems, treatment of antineoplastic

disease as well as the redn. of adverse effects of antineoplastic disease treatment, cardiac disorders and circulatory disease, obesity, fatigue syndromes, endocrine and immune system disorders, dysfunction of gastrointestinal motility and irritable bowel syndrome, and heavy metal poisoning.

IT 60-40-2, Mecamylamine

> (acetylcholine receptor antagonist; pharmaceutical compn. for treatment of synaptic dysfunction comprising oxime)

RN 60-40-2 HCAPLUS

Bicyclo[2.2.1] heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX CN NAME)

NHMe Me Me Me

IC ICM A61K031-46

> ICS A61K031-46; A61K031-44

CC 4-8 (Toxicology)

Section cross-reference(s): 1, 63

51-55-8, Atropine, biological studies 60-40-2, IT

87-00-3, Homatropine Mecamylamine 13265-10-6, Methscopolamine

31610-87-4, Methylatropine 60205-81-4, Ipratropium

(acetylcholine receptor antagonist; pharmaceutical compn. for treatment of synaptic dysfunction comprising oxime)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:372609 HCAPLUS

DOCUMENT NUMBER:

129:37451

TITLE:

Method for controlling tobacco use and

alleviating withdrawal symptoms due to cessation

of tobacco use

INVENTOR(S):

Viner, Norman

PATENT ASSIGNEE(S):

Synapse Pharmaceuticals International, Inc.,

Can.

SOURCE:

U.S., 6 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5760049	A	19980602	US 1997-803723	199702
CA 2279531	AA	19980813	CA 1998-2279531	199802
WO 9834615	A1	19980813	WO 1998-CA94	199802
DE, DK, EE KE, KG, KF MN, MW, MX TJ, TM, TR UZ, VN, YU RW: GH, GM, KE FI, FR, GE CI, CM, GA	, ES, FI , KR, KZ , NO, NZ , TT, UA , ZW, AM , LS, MW , GR, IE , GN, ML	, GB, GE, , LC, LK, , PL, PT, , UG, US, , AZ, BY, , SD, SZ, , IT, LU, , MR, NE,	BG, BR, BY, CA, CH, CN, GH, GM, GW, HU, ID, IL, LR, LS, LT, LU, LV, MD, RO, RU, SD, SE, SG, SI, US, US, US, US, KG, KZ, MD, RU, TJ, TM UG, ZW, AT, BE, CH, DE, MC, NL, PT, SE, BF, BJ, SN, TD, TG	IS, JP, MG, MK, SK, SL, US, US,
AU 9859775	A1	19980826	AU 1998-59775	199802 05
EP 1014981				199802 05
R: AT, BE, CH PT, IE, FI JP 2001511159			GB, GR, IT, LI, LU, NL, JP 1998-533466	
PRIORITY APPLN. INFO.:	٠.		US 1997-797251	199802 05 A 199702 07
			US 1997-795247	A 199702 10
			US 1997-801801	A 199702 14
			US 1997-801802	A 199702

			14
US	1997-803719	A	199702 21
US	1997-803721	A	199702 21
US	1997-803722	A	199702 21
US	1997-803723	A	199702 21
US	1997-807273	A	199702 28
WO	1998-CA94	W	199802
			05

OTHER SOURCE(S): MARPAT 129:37451

AB A method for controlling tobacco use and alleviating withdrawal symptoms due to the cessation of tobacco use comprising administering to a human desiring to control tobacco use and/or suffering from withdrawal due to tobacco use cessation an acetylcholine receptor antagonist and an acetylcholine esterase reactivator as active ingredients in a pharmaceutically acceptable solid matrix material capable of dissoln. and/or disintegration in the mouth or the gastrointestinal tract.

IT 60-40-2, Mecamylamine

(use of acetylcholine receptor antagonist and an acetylcholine esterase reactivator for controlling tobacco use)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

NHMe Me Me Me

IC ICM A01N043-42

ICS A61K031-44; A24F047-00

INCL 514291000

4-8 (Toxicology) CC

51-34-3, Scopolamine 51-55-8, Atropine, biological studies IT

54-11-5, Nicotine 56-97-3, TMB-4 57-71-6, DAM

Nikethamide 60-40-2, Mecamylamine 63-75-2, Arecoline

87-00-3, Homatropine 90-69-7, Lobeline 92-13-7, Pilocarpine

300-54-9, Muscarine 304-84-7, Ethamivan 94-63-3, 2-PAM

306-44-5, Pyruvaldehyde aldoxime 486-56-6, Cotinine 674-38-4,

Bethanechol 13265-10-6, Methscopolamine 31610-87-4,

Methylatropine 60205-81-4, Ipratropium

38

(use of acetylcholine receptor antagonist and an acetylcholine

esterase reactivator for controlling tobacco use)

REFERENCE COUNT:

THERE ARE 38 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L17 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1997:795298 HCAPLUS

DOCUMENT NUMBER:

128:58570

TITLE:

Imidacloprid actions on insect neuronal

acetylcholine receptors

AUTHOR(S):

Buckingham, S. D.; Lapied, B.; Le Corronc, H.;

Grolleau, F.; Sattelle, D. B.

CORPORATE SOURCE:

The Babraham Institute Laboratory of Molecular

Signalling, Department of Zoology, University of

Cambridge, Cambridge, CB2 3EJ, UK

SOURCE:

Journal of Experimental Biology (1997), 200(21),

2685-2692

CODEN: JEBIAM; ISSN: 0022-0949

Company of Biologists Ltd.

PUBLISHER:

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The neonicotinoid insecticide imidacloprid acts at three pharmacol. AB distinct acetylcholine receptor (AChR) subtypes in the cockroach (Periplaneta americana) nervous system, but is ineffective on muscarinic receptors. Imidacloprid (3-100 .mu.mol L-1) induced dose-dependent depolarizations at cockroach cercal afferent/giant

interneurone synapses. These responses were insensitive to 20 .mu.mol L-1 atropine but were completely blocked by the nicotinic antagonist mecamylamine (50 .mu.mol L-1). Similarly, Imidacloprid-induced depolarizations of cultured cockroach dorsal unpaired median (DUM) neurons dissocd. from the same (terminal abdominal) ganglion were also completely blocked by 100 .mu.mol L-1 mecamylamine. However, two components of the response could be distinguished on the basis of their differential sensitivities to 0.1 .mu.mol L-1 .alpha.-bungarotoxin (.alpha.-BTX), which selectively blocks AChRs with "mixed" nicotinic/muscarinic pharmacol. in this prepn. This indicates that imidacloprid affects both AChRs sensitive to .alpha.-BTX and .alpha.-BTX-insensitive nicotinic acetylcholine receptors (nAChRs). Thus, in the cockroach, imidacloprid activates .alpha.-BTX-sensitive synaptic nAChRs in giant interneurones, .alpha.-BTX-insensitive extrasynaptic nAChRs in DUM neurons, and a recently characterized DUM neuron "mixed" AChR that is sensitive to both nicotinic and muscarinic ligands. Imidacloprid does not act on muscarinic acetylcholine receptors (mAChRs) present on DUM neuron cell bodies and at the cercal afferent/giant interneurone synapses. Thus, imidacloprid can act on pharmacol. diverse nAChR subtypes.

IT 60-40-2, Mecamylamine

(imidacloprid actions on insect neuronal acetylcholine receptors inhibition by)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 5-4 (Agrochemical Bioregulators)

IT 60-40-2, Mecamylamine

(imidacloprid actions on insect neuronal acetylcholine receptors inhibition by)

REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:211830 HCAPLUS

DOCUMENT NUMBER: 124:251818

TITLE:

Method and device for screening agents that stimulate adrenal catecholamine secretion

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

Watanabe, Takuya; Shimamoto, Norio Takeda Chemical Industries Ltd, Japan

Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
•	 JP 08012591	A2	19960116	JP 1994-146846	
		A2	19900110	01 1991 140040	199406 28
PRIO	RITY APPLN. INFO.:			JP 1994-146846	199406

Disclosed are analogs and salts of pituitary adenylate cyclase-activating peptide (PACAP) that can stimulate secretion of catecholamine from adrenal gland of a warm-blooded animal. Also disclosed are methods and app. for performing the screening test. The testing app. comprises microinfusion pump, teflon tubes, fraction collector, microinjection cannula, microdialysis probe, cellulose membrane, needles, etc. In example, the catecholamine secretion stimulating effect of vasoactive intestinal peptide, carbachol, and PACAP38 was demonstrated.

IT 60-40-2, Mecamylamine

(pituitary adenylate cyclase-activating peptides and method and device for screening agents that stimulate adrenal catecholamine secretion from adrenal gland of warm-blooded animal)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

IC ICM A61K038-00

ICS A61K038-00; C07K014-47

ICA G01N033-50

CC 2-5 (Mammalian Hormones)

IT 51-41-2, Noradrenaline 51-43-4, Adrenaline 37221-79-7, Vasoactive intestinal peptide 128606-20-2, PACAP38 129069-75-6, PACAP27 137061-48-4D, Pituitary adenylate cyclase-activating peptide, analogs; derivs.; and salts

(pituitary adenylate cyclase-activating peptides and method and device for screening agents that stimulate adrenal catecholamine secretion from adrenal gland of warm-blooded animal)

IT 51-55-8, Atropin, biological studies 51-83-2, Carbachol

60-40-2, Mecamylamine

(pituitary adenylate cyclase-activating peptides and method and device for screening agents that stimulate adrenal catecholamine secretion from adrenal gland of warm-blooded animal)

L17 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1989:502743 HCAPLUS

DOCUMENT NUMBER:

111:102743

TITLE:

Sustained-release pharmaceutical matrixes

containing polymer blends having reverse phase

morphology and giving a zero-order rate

INVENTOR(S):

Kashdan, David S.

PATENT ASSIGNEE(S):

Eastman Kodak Co., USA

SOURCE:

U.S., 21 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4795641	Α	19890103	US 1987-87566	
				198708
				20
CA 1319468	A1	19930629	CA 1988-571672	
				198807
				11
EP 303853	A2	19890222	EP 1988-111876	
•				198807
				23
EP 303853	A 3	19901122		
EP 303853	B1	19930922		
R: CH, DE, FR	GB, LI			
JP 01090231	A2	19890406	JP 1988-204825	
		•		198808

PRIORITY APPLN. INFO.:

US 1987-87566

198708 20

19

Α

AB Disclosed are polymer blends contg. up to 40% by wt. an insol. cellulose acetate polymer (20-44% acetyl content) and >60% by wt. a sol. cellulose acetate phthalate, cellulose acetate trimellitate, and cellulose acetate succinate polymer. The blends have reverse phase morphol., i.e., wherein the sol. polymer phase comprises regions in the insol. continuous polymer phase. The blends are useful for zero-order controlled delivery of bioactive agents such as pharmaceutical and agricultural chems. Films made of a mixt. of 25% cellulose acetate (39.4% acetyl) and 75% cellulose acetate succinate, were loaded with 5, 10 or 20% dextromethorphan. At 5 and 10% loading, zero-order release was shown in simulated intestinal fluid, for 2.5 h, subsequent to an initial 5-min At 20% loading, a greater burst effect was shown. Reverse-phase morphol. of the polymer matrix led to the retention of the structural integrity of the matrix after extn. of the sol. polymer.

IT 60-40-2, Mecamylamine

(sustained-release formulation contg. polymer matrix and, reverse-phase morphol. in alk. medium in relation to)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

IC A61K009-26; C08L001-08; C09S003-04

INCL 424438000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 5

58-08-2, Caffeine, biological studies 58-25-3, Chlordiazepoxide 58-55-9, Theophylline, biological studies 59-42-7, Phenylephrine 60-40-2, Mecamylamine 64-43-7, Sodium amobarbital 69-72-7, uses and miscellaneous 76-57-3, Codeine 89-57-6, 5-Aminosalicylic acid 93-14-1, Guaifenesin 103-90-2, Acetaminophen 113-92-8 114-07-8, Erythromycin 299-42-3 300-62-9, Amphetamine 439-14-5, Diazepam 599-79-1, Sulfasalazine

7439-89-6D, Iron, salts 674-38-4, Bethanechol 7439-93-2D, Lithium, compds. 7447-40-7, Potassium chloride, biological studies 9004-10-8, Insulin, biological studies 15687-27-1 17617-23-1, 51481-61-9, Cimetidine 66357-35-5, Ranitidine Flurazepam 50-33-9, Phenylbutazone, uses and miscellaneous 50-78-2 51-34-3, Scopolamine 51-43-4, Epinephrine 51-55-8, Atropine, biological 54-11-5, Nicotine 56-54-2D, Quindine, derivs. Morphine, biological studies

(sustained-release formulation contg. polymer matrix and, reverse-phase morphol. in alk. medium in relation to)

L17 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:548403 HCAPLUS

DOCUMENT NUMBER: 101:148403

TITLE: Chloride transport across the isolated hen

colon

AUTHOR(S): Munck, B. G.; Andersen, V.; Voldsgaard, P.

CORPORATE SOURCE: Inst. Med. Physiol., Panum Inst., Copenhagen,

DK-2200, Den.

SOURCE: Falk Symposium (1984), 36(Intest. Absorpt.

Secretion), 373-85

CODEN: FASYDI; ISSN: 0161-5580

DOCUMENT TYPE: Journal LANGUAGE: English

AB In the colon of Na+-depleted ends, amiloride eliminated net absorption of Cl-, presumably by hyperpolarizing the luminal membrane. In both Na+-depleted and Na+-loaded ends, theophylline induced net Cl- secretion by the colon by increasing serosal-to-mucosal Cl- flux (JClsm), and also increased the short-circuit current (Isc) and the tissue conductance (Gt); these effects increased with increasing Cl- concn. In colons from Na+-loaded ends, decreasing the Na+ concn. to .ltoreq.30 mM eliminated the rectification of Cl- transport. VIP and cAMP acted as secretagogues, increasing Isc, JClsm, and Gt. To antisecretagogues, chlorpromazine and mecamylamine, decreased JClsm and Isc; chloropromazine also decreased Gt, but mecamylamine did not.

IT 60-40-2

(chloride transports by colon of chicken response to)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

NHMe Me Me Me

CC 12-2 (Nonmammalian Biochemistry)

ST chloride transport colon sodium

IT Electric potential, biological

(of **colon**, of chicken, drugs and sodium effect on, chloride transport in relation to)

IT Intestine, metabolism

(colon, chloride transport by, of chicken, drugs and sodium effects on)

IT 7440-23-5, biological studies

(chloride transport by colon of chicken in relation to)

IT 50-53-3, biological studies 58-55-9, biological studies 60-40-2 60-92-4 2609-46-3 37221-79-7

(chloride transports by colon of chicken response to)

IT 16887-00-6, biological studies

(transport of, by **colon** of chicken, drugs and sodium effects on)

L17 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1984:504697 HCAPLUS

DOCUMENT NUMBER:

101:104697

TITLE:

Neosurugatoxin, a specific antagonist of

nicotinic acetylcholine receptors

AUTHOR(S):

Hayashi, E.; Isogai, M.; Kagawa, Y.; Takayanagi,

N.; Yamada, S.

CORPORATE SOURCE:

Dep. Pharmacol., Shizuoka Coll. Pharm. Sci.,

Shizuoka, 422, Japan

SOURCE:

Journal of Neurochemistry (1984), 42(5), 1491-4

CODEN: JONRA9; ISSN: 0022-3042

DOCUMENT TYPE:

LANGUAGE:

Journal

English

GI

AB Neosurugatoxin (NSTX)(I) [80680-43-9] (3 nM-30 nM), recently isolated from the Japanese ivory mollusk (Babylonia japonica) exerted a potent antinicotinic action in the isolated quinea pig Specific 3H-labeled nicotine [54-11-5] binding to rat ileum. forebrain membranes was saturable, reversible, and of high affinity. Nicotinic cholinergic agonists exhibited a markedly greater affinity for [3H] nicotine binding sites than a muscarinic agonist, oxotremorine. Although .alpha.-bungarotoxin had no effect on [3H] nicotine binding, low concns. (1 nM-1 .mu.M) of NSTX inhibited [3H] nicotine binding in the forebrain membranes and its IC50 value was 69 nM. On the other hand, NSTX did not affect muscarinic receptor binding in the brain. Thus, NSTX may be of appreciable interest as a neurotoxin with a selective affinity for ganglionic nicotine receptors.

Ι

IT 60-40-2

(nicotine binding by brain membrane inhibition by, neosurugatoxin in relation to)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

NHMe Me Me Me

2-8 (Mammalian Hormones) CC

IT Intestine

(ileum, contraction of, from nicotine, neosurugatoxin antagonism

51-55-8, biological studies 54-77-3 57-94-3 57-95-4 IT 70-22-4 90-69-7 11032-79-4 25162-00-9 (nicotine binding by brain membrane inhibition by, neosurugatoxin in relation to)

L17 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1977:11689 HCAPLUS

DOCUMENT NUMBER:

86:11689

TITLE:

Drug absorption from the irradiated rat small

intestine in situ

AUTHOR(S):

Venho, V. M. K.

CORPORATE SOURCE:

Dep. Pharmacol., Univ. Helsinki, Helsinki,

Finland

SOURCE:

Arzneimittel-Forschung (1976), 26(10), 1870-5

CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE:

LANGUAGE:

Journal

English

GI

Phenobarbitone (I) [50-06-6], sulfafurazole [127-69-5], mecamylamine AB [60-40-2], quinidine [56-54-2], and isoniazid [54-85-3] were administered to rats irradiated at 750 Rad with 60Co. absorption of these drugs from the intestinal lumen was decreased by the radiation. The absorption of I, sulfafurazole, and mecamylamine returned to the control level 6 days after irradn., but

that of quinidine and isoniazid was still retarded. The drugs injected i.v. were not significantly transported into the intestinal contents and the radiation had no effect. The concn. of mecamylamine and quinidine in the blood was decreased by irradn. Blood levels of drugs did not correlate with the rate of disappearance of drugs from the intestinal lumen. The time-dependent and reversible decrease in absorption of the drugs appeared to be due to a secondary effect on irradn. on the intestinal wall.

IT 60-40-2

(absorption of, by intestine, after irradn.)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 1-2 (Pharmacodynamics)

Section cross-reference(s): 8

intestine drug absorption radiation; phenobarbitone intestine absorption radiation; sulfafurazole intestine absorption radiation; mecamylamine intestine absorption radiation; quinidine intestine absorption radiation; isoniazid intestine absorption radiation

IT Radiation, biological effects

(on pharmaceutical absorption from intestine)

IT Intestine, metabolism

(pharmaceutical absorption by, after irradn.)

IT 50-06-6, biological studies 54-85-3 56-54-2 **60-40-2** 127-69-5

(absorption of, by intestine, after irradn.)

L17 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:529351 HCAPLUS

DOCUMENT NUMBER: 73:129351

TITLE: Effects of altered propulsion on rat small

intestinal flora

AUTHOR(S): Summers, Robert W.; Kent, Thomas H.

CORPORATE SOURCE: Coll. Med., Univ. Iowa, Iowa City, IA, USA

SOURCE: Gastroenterology (1970), 59(5), 740-4

CODEN: GASTAB; ISSN: 0016-5085

DOCUMENT TYPE: LANGUAGE: Journal English

AB Inhibitors of the gastrointestinal propulsion, such as mecamylamine, slightly increased the population of some organisms, such as coliforms, whereas stimulators of the propulsion, such as tolazoline, and fasting decreased the population. Population overgrowth occurred with extreme stagnation from ileal obstruction. Indomethacin-induced ulceration and whole body x-irradn., which led to mucosal damage and increased the propulsion, were assocd. with an overgrowth of bacteria, suggesting that extreme forms of stagnation were not necessary to produce a significant bacterial overgrowth.

IT 60-40-2

(bacterial flora of intestines in response to)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 15 (Pharmacodynamics)

ST gastrointestinal propulsion bacterial flora; bacterial flora ulcers irradn; ulcers irradn bacterial flora; irradn ulcers bacterial flora; peristalsis intestinal flora; intestinal flora peristalsis; flora intestinal peristalsis

IT Ulcers

(bacterial flora of intestines in)

IT Bacteria

(intestinal, altered propulsion effect on)

IT X-rays, biological effects

(on bacterial flora of intestines)

IT 59-98-3 60-40-2

(bacterial flora of intestines in response to)

L17 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1970:529350 HCAPLUS

DOCUMENT NUMBER:

73:129350

TITLE:

Effects of drugs, ileal obstruction, and

irradiation on rat gastrointestinal

propulsion

AUTHOR(S):

Summers, Robert W.; Kent, Thomas H.; Osborne,

James W.

CORPORATE SOURCE:

Coll. Med., Univ. Iowa, Iowa City, IA, USA

SOURCE:

Gastroenterology (1970), 59(5), 731-9

CODEN: GASTAB; ISSN: 0016-5085

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Mecamylamine, chlorisondamine, and morphine significantly inhibited AB the rat gastrointestinal propulsion when detd. after intragastric and intraduodenal administration of the test soln. contg. 51Cr, whereas indomethacin-induced ulceration and whole body x-irradn. stimulated the intestinal clearance. Tolazine and neostigmine increased the net propulsion by the intragastric technique, but not by the intraduodenal method.

IT

(digestive tract emptying in response to)

RN 60-40-2 HCAPLUS

Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) CN NAME)

NHMe Me Me Me

CC 15 (Pharmacodynamics)

ST gastrointestinal propulsion drugs; peristalsis irradn drugs; drugs irradn peristalsis; ulceration irradn intestines; irradn ulceration intestines;

intestines ulceration irradn

57-27-2, biological studies 59-99-4 **60-40-2** IT 69-27-2 1016-94-0

(digestive tract emptying in response to)

L17 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1966:438527 HCAPLUS

DOCUMENT NUMBER:

65:38527

ORIGINAL REFERENCE NO.:

65:7176c-q

TITLE:

Ganglioplegic and hypotensive activity of

piperazine salts

AUTHOR(S):

Massarani, E.; Nardi, D.; Riva, M.

CORPORATE SOURCE: SOURCE:

Lab. Ric. Chim. Terapeutica "Vister,", Milan Farmaco, Edizione Scientifica (1965), 20(9),

662-72

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

Previous studies (CA 64, 17594b), led to the prep. of piperazine AB salts (I and II) where R = 2-biphenylyl (III), 4-biphenylyl (IV), 4-stilbenyl (V), and p-phenethylphenyl (VI), whose ganglioplegic and hypotensive activity were investigated. These compds. show ganglionic blocking activity in vitro abolishing the peristaltic. reflex of the isolated guinea pig intestine and are ganglionic blocking and hypotensive agents also in the cat. Condensation of 1-chloro-2-(4-methyl-1-piperazinyl)ethane with a corresponding phenol in a nonpolar solvent with NaNH2 yielded a mixt. which was difficult to sep. Thus, ROCH2CH2Br (VII), prepd. according to Massarani (M., et al., CA 54, 10951c), were used to give I and II. The following VII were prepd. (R, b.p./0.2 mm., m.p. (EtOH), and % yield given): III, 103-5.degree., 64.degree., 38; IV, 145-8.degree., 112.degree., 47, VI, 140.degree., 54.degree., 36. VII (0.04 mole) and 0.2 mole 1-methyl-piperazine in 300 cc. abs. EtOH and 0.06 mole NaHCO3 refluxed 36 hrs., the reaction mixt. cooled and acidified with HCl, unreacted VII extd. with Et2O, the aq. portion treated with NaOH, and I extd. with Et2O, washed with H2O, dried, and distd. at reduced pressure yielded I (R, b.p./0.2 mm., m.p., and % yield given): III (VIII), 160.degree., --, 67 [di-HCl salt-H20 m. 226-8.degree. (EtOH)]; IV (IX), 160.degree., 80-2(C6H6), 70 [di-HCl salt m. 258-60.degree. (decompn.) (MeOH)]; V (X), --, 102.degree. (C6H6), 51, [diHCl salt m. 270.degree. (MeOH)]; and VI (XI), 160.degree., --, 77 [di-HCl salt m. 230-2.degree. (decompn.) (EtOH)]. Also prepd. were their MeI-salts by treating 0.0025 mole I with 0.002 mole MeI at 0.degree. and stirring 3 hrs. (compd., m.p. MeI salt, and % yield given): VIII, 163-4.degree. (EtOH), 60; IX, 240-2.degree. (MeOH), 80; X, 255-7.degree. (EtOH), 80; and XI, 204-5.degree. (iso-PrOH), 80. II were prepd. by agitating 0.025 mole I with 0.25 mole MeI in 500 cc. EtOH 2 hrs. at room temp. and fractionated by concurrent repartition chromatography with 150 cc. BuOH-H2O; in the higher Rf fraction was the mono MeI, in the lower Rf fraction was II (R, m.p., and % yield given): III (XII), 211-12.degree. (MeOH), 30; IV, 235-7.degree. (EtOH-H2O), 25; V, 250-1.degree. (decompn.) (EtOH0-H2O), 60; and VI (XIII), 218-20.degree. (MeOH), 67. XII and XIII were the most active. ganglionic blockade and hypotensive action of these derivs. were detd. and the L.D.50 of 7.2 for XII and 5.4 for XIII was calcd. was the most active compd. and the most readily absorbed from the

IT **60-40-2**, 2-Norbornanamine, N,2,3,3-tetramethyl-(prepn. of)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX

NAME)

CC 38 (Heterocyclic Compounds (More Than One Hetero Atom)) IT 60-40-2, 2-Norbornanamine, N, 2, 3, 3-tetramethyl-870-62-2, Ammonium, hexamethylenebis[trimethyl-, iodide] 1420-39-9, Piperazinium, 1,1,4-trimethyl-4-[2-(4-phenoxyphenoxy)ethyl]-,. 1762-99-8, Piperazinium, 1,1,4-trimethyl-4-[2-[5-methyl-2-(1-methylethyl)cyclohexyl]ethyl]-, diiodide 3245-43-0, Phenetole, .beta.-bromo-o-phenyl-3351-60-8, Phenetole, .beta.-bromo-p-phenyl-3483-40-7, Piperazinium, 1,4,4-trimethyl-1-[2-(pphenethylphenoxy)ethyl]-, diiodide 3765-96-6, Piperazinium, 1-[2-(2-biphenylyloxy)ethyl]-1,4,4-trimethyl-, diiodide 5378-81-4, Piperazinium, 1-[2-(4-biphenylyloxy)ethyl]-1,4,4-trimethyl-, 6979-77-7, Phenetole, .beta.-bromo-p-phenethyl-6979-78-8, Piperazine, 1-[2-(2-biphenylyloxy)ethyl]-4-methyl-6979-79-9, Piperazine, 1-[2-(4-biphenylyloxy)ethyl]-4-methyl-, 6979-80-2, Piperazine, 1-methyl-4-[2-(pdihydrochloride styrylphenoxy)ethyl] - 6979-81-3, Piperazine, 1-methyl-4-[2-(pphenethylphenoxy)ethyl]-6979-82-4, Piperazine, 1-methyl-4-[2-(p-phenethylphenoxy)ethyl]-, dihydrochloride 6991-03-3, Piperazinium compounds, 1,1,4-trimethyl-4-[2-(pstyrylphenoxy)ethyl]-, diiodide 7074-55-7, Piperazine, 1-[2-(2-biphenylyloxy)ethyl]-4-methyl-, dihydrochloride 7074-56-8, Piperazine, 1-[2-(4-biphenylyloxy)ethyl]-4-methyl-7074-57-9, Piperazine, 1-methyl-4-[2-(p-styrylphenoxy)ethyl]-, dihydrochloride 10304-21-9, Piperazinium, 4-[2-(2-biphenylyloxy)ethyl]-1,1-dimethyl-10304-22-0, Piperazinium, 1-[2-(2-biphenylyloxy)ethyl]-1,4-dimethyl-, iodide 10304-23-1, Piperazinium, 4-[2-(4-biphenylyloxy)ethyl]-1,1-dimethyl-, iodide 10304-24-2, Piperazinium, 1-[2-(4-biphenylyloxy)ethyl]-1,4-dimethyl-, iodide 10304-25-3, Piperazinium, 1,1-dimethyl-4-[2-(p-styrylphenoxy)ethyl]-, iodide 10304-26-4, Piperazinium, 1,4-dimethyl-1-[2-(pstyrylphenoxy)ethyl]-, iodide 10304-27-5, Piperazinium, 1,1-dimethyl-4-[2-(p-phenethylphenoxy)ethyl]-, iodide 10305-00-7, Piperazinium, 1,4-dimethyl-1-[2-(p-phenethylphenoxy)ethyl]-, iodide (prepn. of)

L17 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1966:62379 HCAPLUS

DOCUMENT NUMBER:

64:62379

ORIGINAL REFERENCE NO.:

64:11710c-e

TITLE:

The effect of some anticholinesterases on the

response of the tenia to sympathetic nerve

stimulation

AUTHOR(S):

Ng, K. K. F.

CORPORATE SOURCE:

Dept. Pharmacol., Univ. Singapore

SOURCE:

Journal of Physiology (Cambridge, United

Kingdom) (1966), 182(2), 233-43 CODEN: JPHYA7; ISSN: 0022-3751

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Acetylcholine at 0.2 .gamma./ml. caused contraction and AB noradrenaline at 0.1.gamma./ml. caused relaxation of teniacoli. The response to stimulation of the perivascular sympathetic nerves at low frequency was either a contraction or a small relaxation. higher frequencies of stimulation there was only a relaxation. the presence of hyoscine-HBr (I) there was relaxation at all frequencies, the relaxation increasing as the frequency rose up to The relaxation was not affected by the presence of hexamethonium, but was blocked by bretylium. When stimulation was supplied in the presence of I, the addn. of physostigmine salicylate, Mipafox (phosphodiamidic fluoride), or Dyflos (diisopropyl fluorophosphonate) increased the relaxation to stimulation of low frequency, the increase becoming smaller as the frequency rose. At high frequencies Mipafox decreased the relaxation.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(nerve blocking by)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 68 (Pharmacodynamics)

IT Intestines

(cholinesterase inhibitor effect on taenia coli)

IT 57-64-7, Physostigmine, salicylate

(embryo response to, intestine response to)

IT 55-91-4, Isopropyl phosphorofluoridate, (C3H7O)2FPO 114-49-8,

Scopolamine, hydrobromide 371-86-8, Phosphorodiamidic fluoride, N,N'-diisopropyl(intestine response to)

(intestine response to, cholinesterase inhibitors and)

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl- 79-55-0, Piperidine, 1,2,2,6,6-pentamethyl- (nerve blocking by)

IT 59-41-6, Ammonium, (o-bromobenzyl)ethyldimethyl (salts, intestine response to, cholinesterase inhibitors and)

L17 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1964:12373 HCAPLUS

DOCUMENT NUMBER: 60:12373
ORIGINAL REFERENCE NO.: 60:2217c-d

TITLE: Pharmacodynamic study of mecamylamine

AUTHOR(S): Lechat, P.; Lamarche, M.; Renier-Cornec, Annick

CORPORATE SOURCE: Fac. Med., Paris

SOURCE: Therapie (1961), 16(2), 252-64

CODEN: THERAP; ISSN: 0040-5957

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

3-Methylaminoisocamphane-HCl (I), 20-50 mg./1., in the perfusion AB liquid had a neg. inotropic effect on isolated guinea pig heart; neither sensitization nor resistance were noted. In anesthetized rabbit and quinea pig I, 0.5-2 mg./kg., speeded up heart beats 5.3-17.5% but in dogs they were slowed 10-16%. In rabbit and guinea pig I decreased arterial pressure 16-40%. The hypertension produced by carotid occlusions was lowered 33-49% by I. Acetylcholine hypotension was not influenced by I but adrenaline hypertension increased after I, 0.5-1.0 mg./kg. Salivation produced in dogs by elec. excitement was lowered 31-69% after intravenous injection of 0.5-1 mg./kg. of I. The contraction of the nictitating membrane of the cat was decreased but isolated intestines were not In vivo intestinal transit in mice and rats influenced. was slowed down by parenteral administration of 5 mg./kg. I.

IT **60-40-2**, 2-Norbornanamine, N,2,3,3-tetramethyl-(pharmacology of)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 68 (Pharmacodynamics)

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(pharmacology of)

L17 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1962:21440 HCAPLUS

DOCUMENT NUMBER: 56:21440
ORIGINAL REFERENCE NO.: 56:4064h-i

TITLE: Drug therapy of hypertension. V. Observations on

the results with ganglion-blocking agents given in combination with Rauwolfia and chlorothiazide

AUTHOR(S): Moyer, John H.; Brest, Albert N.

CORPORATE SOURCE: Hahnemann Med. Coll., Philadelphia, PA

SOURCE: Archives of Internal Medicine (1961), 108,

231-47

CODEN: AIMDAP; ISSN: 0003-9926

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB cf. CA 51, 4548c.-The ganglion-blocking compds. used with Rauwolfia were: hexamethonium, pentolinium (I), chlorisondamine, and mecamylamine (II). I and II gave the best results. II had greater potency and complete gastrointestinal absorption. When chlorothiazide was used in combination with Rauwolfia and a ganglion-blocking agent the required dose of the latter was reduced by 0.5.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl(mixts. with chlorothiazide and Rauwolfia, effect on blood pressure)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 73 (Pharmacodynamics)

IT 52-62-0, Pyrrolidinium, 1,1'-pentamethylenebis[1-methyl-hydrogen tartrate] 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(mixts. with chlorothiazide and Rauwolfia, effect on blood pressure)

L17 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1961:133672 HCAPLUS

DOCUMENT NUMBER:

55:133672

ORIGINAL REFERENCE NO.: 55:25171i,25172a-e

TITLE:

Cation-exchange resin adsorption compounds

INVENTOR(S):

Keating, John W.

PATENT ASSIGNEE(S):

Wallace & Tiernan Inc.

DOCUMENT TYPE:

Patent

LANGUAGE:

Unavailable

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				•
US 2990332		19610627	US 1958-726010	
			•	195804
				02

AB Basic N-contg. org. drugs are treated with sulfonic acid cation-exchange resins (I) to obtain immediate-acting ion-exchange adsorption compds. of sustained therapeutic effectiveness and lowered toxicity when administered orally. The cross-linkage of the resins (1-20%) and the particle size of the adsorption compds. (10-400 mesh) are such that the drugs are slowly and uniformly released by the gastric and intestinal juices. Not more than 50% of the bound drug is released in 1 hr. by elution with 0.07N HCl and 0.03N NaCl, and at least 10% is released in 3 hrs., the amt. of bound drug in the dosage unit being between 0.2 to 2000 mg., calcd. as drug base, and is safely effective for at least 8 Particularly applicable are relatively toxic, gastrointestinal absorbent basic drugs having an oral L.D.50 in rats of 50-3000 mg./kg., and dosage amts. in the adsorption compd. which are at least twice the av. unit dose for the common

drug. A complex of I and ephedrine was prepd. by adding 25.0 g. ephedrine sulfate to 96.3 g. moist I (25.0 g. dry resin) suspended in distd. H2O. The mixt. was stirred for 6 hrs., washed with H2O, and dried for 15 hrs. at 60.degree.. The resin complex contained 34.01% ephedrine adsorbed as the ephedrine cation. Other basic drugs were prepd. and tested with various ion-exchange resins, various particle sizes, and various degrees of cross-linkage. Adsorption compds. of I were prepd. with: alphamethylphenethylamine, tert-BuNHPh, ephedrine, deoxyephedrine, mecamylamine, Me .alpha.-phenyl-.alpha.-(2-piperidyl)acetate, phenmetrazine, Pyribenzamine, Chlor-Trimeton, Pyridium, Pyrilamine, N, N-dimethyl-2-(.alpha.-phenyl-o-tolyloxy) ethylamine (phenyltoloxamine), promazine, codeine, dihydrocodeine, dihydrocodeinone, metopon, atropine, dihydrohydroxycodeinone, scopolamine, .alpha.,.alpha. diphenyl-.gamma.-(dimethylamino) valeramide (Centrine), benactyzine, chloropromazine, narcotine, ethaverine, 3-diethylamino-1-cyclohexyl-1-phenyl-1propanol-EtI (Pathilon), Ecolid, methyl atropine, methyl scopolamine, tricyclamol (Elorine), methamphetamine, Preludin, Propadrine, methapyrilene (Histadyl), chlorothen (Tagathen), thenyldiamine (Thenfadil), thonzylamine (Neohetramine), methafurylene (Foralamin), trasentin, hexamethonium chloride, pentamethonium, tetraethylammonium, and pentolinium.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl(compds. with base-exchanging substances, prolonged action of)
RN 60-40-2 HCAPLUS
CN Rigyglo[2,2,1]heptangleamine, N,2,3,3-tetramethyl- (SCI) (CN INDEX

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 17 (Pharmaceuticals, Cosmetics, and Perfumes) IT 51-34-3, Scopolamine 52-62-0, 1,1'-Pentamethylenebis[1methylpyrrolidinium hydrogen tartrate] 52-88-0, 8-Methylatropinium, nitrate 58-40-2, Phenothiazine, 10-(3-dimethylaminopropyl) - 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl- 60-46-8, Centrine 64-95-9, Adiphenine 76-42-6, Codeinone, dihydrohydroxy-66-40-0, Ammonium, tetraethyl-77-37-2, Tricyclamol 91-79-2, Thenfadil 91-80-5, Methapyrilene 91-81-6, Tripelennamine 91-84-9, Pyrilamine 91-85-0, Thonzylamine 92-12-6, Ethylamine, N,N-dimethyl-2-(.alpha.-phenyl-o-

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tolyloxy) - 113-45-1, 2-Piperidineacetic acid, .alpha.-phenyl-,
   methyl ester 125-28-0, Codeine, dihydro- 125-29-1, Codeinone,
   dihydro- 132-22-9, Chlorprophenpyridamine 134-49-6, Morpholine,
                       148-65-2, Pyridine, 2-[(5-chloro-2-thenyl)(2-
   3-methyl-2-phenyl-
   dimethylaminoethyl)amino] - 299-42-3, Ephedrine
                                                    302-40-9,
   Benzilic acid, 2-diethylaminoethyl ester 486-47-5, Perparine
   531-06-6, Foralamin 937-33-7, Aniline, N-tert-butyl- 6138-33-6,
   Pyrrolidinium, 1-(3-cyclohexyl-3-hydroxy-3-phenylpropyl)-1-methyl-,
methyl sulfate (salt) 7632-10-2, Phenethylamine,
  N,.alpha.-dimethyl- 7652-32-6, Pyridine, 2-[(2-dimethylaminoethyl)-
3-thenylamino]-, hydrochloride 10393-51-8, Pyridine,
   2,6-diamino-3-phenylazo-, hydrochloride 13265-10-6,
   3-0xa-9-azoniatricyclo[3.3.1.02,4]nonane, 7-[(2S)-3-hydroxy-1-oxo-2-
  phenylpropoxy]-9,9-dimethyl- 14838-15-4, Norephedrine
   643758-25-2, Isoindolinium, 4,5,6,7-tetrachloro-2-(2-
   dimethylaminoethyl) -2-methyl-
      (compds. with base-exchanging substances, prolonged action of)
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L17 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1961:113522 HCAPLUS

DOCUMENT NUMBER:

55:113522

ORIGINAL REFERENCE NO.:

55:21371i,21372a

TITLE

Blocking effect of autonomic ganglionic blocking

agents on the sympathetic nervous system. II.

The effect of autonomic nerve ganglionic

blocking agents on the stellate ganglion and a comparative study on their effects on some other

ganglia

AUTHOR(S):

Yanagiya, Keiji

CORPORATE SOURCE:

Tokyo Med. Coll.

SOURCE:

Nippon Yakurigaku Zasshi (1960), 56, 85-98

CODEN: NYKZAU; ISSN: 0015-5691

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

- AB The blocking effect by these compds. on the stellate ganglion decreased in the order I, IV, III, II, V, VI, and VII. These agents also had relatively marked effects on the superior cervical ganglion. On the abdominal ganglion, I showed the most marked blocking effect but the effective period was the shortest for any of the 3 ganglions.
- IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(nerve-center response to)
- RN 60-40-2 HCAPLUS
- CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(nerve-center response to)

L17 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN:

ACCESSION NUMBER:

1961:107137 HCAPLUS

DOCUMENT NUMBER:

55:107137

ORIGINAL REFERENCE NO.:

55:20180f-g

TIITE:

Pharmacodynamic study of mecamylamine

AUTHOR(S):

Lemarche, M.; Lechat, P.; Renier-Cornec, A.

CORPORATE SOURCE:

Fac. med., Nancy, Fr.

SOURCE:

Journal de Physiologie (Paris, 1946-1992)

(1961), 53, 394-5

CODEN: JOPHAN; ISSN: 0021-7948

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB In various laboratory animals, mecamylamine (I) exhibited ganglioplegic and hypotensive properties. Intestinal motility was not affected by I, but it increased the rate of movement of intestinal contents. The oral and intravenous L.D.50 of I is 260 and 25 mg./kg., resp., in the mouse.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-

(pharmacology of)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT Intestinal contents

(mecamylamine effect on movement of)

IT **60-40-2**, 2-Norbornanamine, N,2,3,3-tetramethyl-(pharmacology of)

L17 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1961:44537 HCAPLUS

DOCUMENT NUMBER:

55:44537

ORIGINAL REFERENCE NO.:

55:8643e-g

TITLE:

Action of angiotensin on isolated guinea pig

ileum

AUTHOR (S):

Ross, Charles A.; Ludden, Carl T.; Stone,

Clement A.

CORPORATE SOURCE:

Merck Inst. for Therap. Research, West Point, PA

SOURCE:

Proceedings of the Society for Experimental

Biology and Medicine (1960), 105, 558-9

CODEN: PSEBAA; ISSN: 0037-9727

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

- AB Atropine and morphine effectively block angiotensin- and nicotine-induced spasms of isolated guinea pig ileum. Mecamylamine, pempidine, pentolinium, and hexamethonium blocked nicotine-induced spasms, but only mecamylamine and pempidine blocked angiotensin-induced spasms and then only when used in very high concns. It is proposed that angiotensin acts on the postganglionic cholinergic mechanism of the ileum and that its site of action is probably peripheral to the ganglion.
- IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(intestine response to, angiotensin and)
- RN 60-40-2 HCAPLUS
- CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

- CC 11H (Biological Chemistry: Pharmacology)
- IT 79-55-0, Piperidine, 1,2,2,6,6-pentamethyl-

(antagonism to angiotensin intestinal spasm)

IT 57-27-2, Morphine

(effect on spasm of intestine by angiotensin)

IT 1407-47-2, Angiotensin

(intestinal response to, antagonism by atropine, morphine, etc.)

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(intestine response to, angiotensin and)

L17 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1959:78832 HCAPLUS

DOCUMENT NUMBER:

53:78832

ORIGINAL REFERENCE NO.:

53:14311e-q

TITLE:

Pharmacodynamics of drugs affecting the blood

pressure. Structure-action relations of

quaternary ganglionic and parasympathetic drugs.

AUTHOR (S):

van Rossum, J. M.; Ariens, E. J.

SOURCE:

Archives Internationales de Pharmacodynamie et

de Therapie (1959), 118, 447-66 CODEN: AIPTAK; ISSN: 0003-9780

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB Studies on the cat blood pressure, isolated frog heart and rectus abdominis show that cholinergic activity changes to cholinolytic activity as the size of the substituent groups on the quaternary N increases. Drugs acting on ganglia can be divided into 3 classes in the same way as drugs acting on the myoneural junction. On the rectus, ganglionic blockers which depolarize cause contracture and this is competitively antagonized by the non-depolarizing blockers. Other drugs such as mecamylamine antagonize noncompetitively.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(blood-pressure response to)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT 51-84-3, Choline, acetyl- 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-

(blood-pressure response to)

L17 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1958:116440 HCAPLUS

DOCUMENT NUMBER:

52:116440

ORIGINAL REFERENCE NO.: 52:20674c-d

TITLE: Action of ganglion-blocking drugs on uterine and

intestinal smooth musculature

AUTHOR(S):

Sharapov, I. M.

SOURCE:

Farmakologiya i Toksikologiya (Moscow) (1958),

21 (No. 2), 18-24

CODEN: FATOAO; ISSN: 0014-8318

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB Dioquine, dicholine, pentamine, hexonium, nanophine, arfonad, and mecamine (inversin) all stimulate contraction of feline uterine and intestinal muscles. The intestinal effect occurs only in the intact animal and is inhibited by atropine. There is a parallelism between this stimulation and ganglion-blocking activity. Competition with acetylcholine for choline-reactive biochem. systems may be involved.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(effect on intestines and uterus)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl- 68-91-7,
Trimethaphan 10-camphorsulfonate 306-53-6, Ammonium,
[(methylimino)diethylene]bis[ethyldimethyl-, bromide] 382-82-1
Dicoline 504-03-0, Nanophin 504-03-0, Lupetidine 870-62-2,
Hexamethylenebis[trimethylammonium iodide] 3565-33-1, Dioquin 875818-53-4, Piperidinium, 2-carboxy-1,1,6-trimethyl-

(effect on intestines and uterus)

IT 13213-99-5, Ammonium, diethyl(2-hydroxyethyl)methyl-(esters, effect on **intestines** and uterus)

L17 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1958:116439 HCAPLUS

DOCUMENT NUMBER:

52:116439

ORIGINAL REFERENCE NO.:

52:20674a-c Mechanism of the ganglion-blocking action of

pachycarpine

TITLE:

AUTHOR(S):

Gorshkov, G. I.

SOURCE:

Farmakologiya i Toksikologiya (Moscow) (1958),

21 (No. 2), 14-17

CODEN: FATOAO; ISSN: 0014-8318

DOCUMENT TYPE:

LANGUAGE:

Unavailable

Journal

At 10 p.p.m. pachycarpine does not block the ganglia, but gradually weakens the reaction of the vagus nerve in frogs; at 20 p.p.m. it blocks impulse transmission to cardiovascular nerve ganglia, but not cardiac reaction to stimulation of postganglionic vagus nerve ends. This reaction is weakened, however, by pachycarpine at 50 and at 100 p.p.m. Cardiac amplitude is increased and rhythm is decreased.

60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-IT

(effect on intestines and uterus)

RN · 60-40-2 HCAPLUS

CN Bicyclo[2.2.1] heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX. NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT Nerve centers

(blocking agents for, effect on intestines and uterus)

IT Intestines

(nerve-center-blocking agent effect on)

IT . 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-Trimethaphan 10-camphorsulfonate 306-53-6, Ammonium, [(methylimino)diethylene]bis[ethyldimethyl-, bromide] 382-82-1. 504-03-0, Lupetidine 870-62-2, Hexamethylenebis[trimethylammonium iodide] Quinuclidinium, 2-carboxy-1-methyl-, iodide, ester with diethyl(2-hydroxyethyl)methylammonium iodide 875818-53-4, Piperidinium, 2-carboxy-1,1,6-trimethyl-(effect on intestines and uterus)

IT 13213-99-5, Ammonium, diethyl(2-hydroxyethyl)methyl-(esters, effect on intestines and uterus)

HCAPLUS COPYRIGHT 2006 ACS on STN ANSWER 31 OF 34

ACCESSION NUMBER:

1958:89368 HCAPLUS

DOCUMENT NUMBER:

52:89368

ORIGINAL REFERENCE NO.: 52:15751e-h

TITLE:

Effects of nornicotine and thiamine on the

autonomic ganglion

AUTHOR(S):

SOURCE:

Yamamoto, Iwao; Kurogochi, Yutaka; Kitamura,

Takehisa; Nishio, Hyoe; Tamori, Yasuo

Nara Igaku Zasshi (1958), 9, 36-47

CODEN: NAIZAM; ISSN: 0469-5550

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

Pharmacologic properties of nornicotine (I) and other nicotine-related compds. were investigated and compared with that of nicotine (II). I, metanicotine, and dihydrometanicotine showed a II-like action on blood pressure and excised intestine in a concn. of 10 to 100 times higher than the effective concn. of I. On the other hand, nicotyrine, 3-nicotinoylpropionic acid, 3-succinoyl-6-hydroxypyridine, 6-hydroxymyosmine, cotinine, .gamma.-(3-pyridyl)-.gamma.-methylaminobutyric acid, nicotinic acid, nico-tinamide, and nicotinuric acid showed no II-like action even in a extremely high concn. The action of I and II to contract the excised intestine of quinea pigs was antagonized by thiamine, sulfathiazole, 2-amino-4-phenylthiazole, 2-amino-4-methyl-5-phenylthiazole, 2,4-diamino-5-phenylthiazole, tetraethylammonium bromide, hexamethonium, mecamylamine, diparcol, and chlorpromazine with the same type of alteration of the dose-effect curve and the same pA2 value (log of the reciprocal of the concn. of the antagonist which necessitates a 2-fold increase in the concn. of the agonist to give the same effect). These results indicate that I and II react with the same receptor and the receptor may be sensitive to pyrrolidine ring.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(as antagonist to nicotine and nornicotine)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT Blood pressure

Intestines

(effect of nornicotine and related compds. on, and inhibition thereof)

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50-53-3, Phenothiazine, 2-chloro-10-(3-dimethylaminopropyl)-
IT
     60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-
                                                       60-91-3,
     Phenothiazine, 10-(2-diethylaminoethyl) - 72-14-0, Sulfathiazole 490-55-1, Thiazole, 2,4-diamino-5-phenyl- 969-99-3, Phenothiazine,
     2-chloro-10-(3-dimethylaminopropyl)-, 5-oxide 2010-06-2, Thiazole,
     2-amino-4-phenyl- 28241-62-5, Thiazole, 2-amino-4-methyl-5-phenyl-
        (as antagonist to nicotine and nornicotine)
     59-67-6, Nicotinic acid 98-92-0, Nicotinamide
IT
                                                        486-56-6, Cotinine
     487-19-4, .beta.-Nicotyrine 494-97-3, Nornicotine
                                                             538-79-4,
                    583-08-4, Glycine, N-nicotinoyl-
     Metanicotine
                                                        3000-74-6,
     Pyridine, 3-(4-methylaminobutyl) - 4192-31-8, 3-Pyridinebutyric
                          15569-99-0, 3-Pyridinebutyric acid,
     acid, .gamma.-oxo-
                            15873-27-5, 3-Pyridinebutyric acid,
     .gamma.-methylamino-
     6-hydroxy-.gamma.-oxo- 102308-70-3, 2-Pyridinol,
     5-(2-pyrrolin-2-yl)-
        (effect on blood pressure and intestines)
     59-43-8, Thiamine
        (effect on blood pressure and intestines, nicotine
        derivs. in relation to)
L17 ANSWER 32 OF 34
                      HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         1958:62356 HCAPLUS
DOCUMENT NUMBER:
                         52:62356
ORIGINAL REFERENCE NO.: 52:11270b-d
TITLE:
                         Gastrointestinal secretion and
                         absorption of 3-methyl-aminoisocamphane
                         hydrochloride (mecamylamine)
                         Zawoiski, Eugene J.; Baer, John E.;
AUTHOR (S):
                         Braunschweig, Lee W.; Paulson, Sue F.; Shermer,
                         Audrey; Beyer, Karl H.
CORPORATE SOURCE:
                         Merck Inst. for Therap. Research, West Point, PA
                         Journal of Pharmacology and Experimental
SOURCE:
                         Therapeutics (1958), 122, 442-8
                         CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         Unavailable
     cf. C.A. 50, 15964e. Mecamylamine (I) was actively secreted by
AB
     Heidenhain (antrum-resected) gastric pouches of the dog after
     intravenous or oral administration. The amt. secreted appeared to
     be related to the acidity of the gastric secretion. Gastric
     absorption studies in dogs showed that little, if any, I was
     absorbed by Heidenhain gastric pouch mucosa. At no time during the
     tests was any detectable I secreted into the lumen of the small
     intestine of anesthetized dogs, even though the circulating
     blood contained high plasma concns. of I. I was well absorbed from
     the small intestine of anesthetized dogs.
                                                 These and other
     observations demonstrate that there is a definite basis for a
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gastrointestinal cyclization of I which is favorable to its

over-all physiol. economy. Only negligible amts. of I were excreted in the feces.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(metabolism by intestine)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT **60-40-2**, 2-Norbornanamine, N,2,3,3-tetramethyl- (metabolism by **intestine**)

L17 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN 3

ACCESSION NUMBER:

1958:62355 HCAPLUS

DOCUMENT NUMBER:

52:62355

ORIGINAL REFERENCE NO.:

52:11269i,11270a-b

TITLE:

Excretion of radioactivity following

administration of tris-(ethylenimino-2,3-C14)-s-

triazine in normal mice

AUTHOR(S):

Goldenthal, Edwin I.; Nadkarni, Moreshwar V.;

Smith, Paul K.

CORPORATE SOURCE:

George Washington Univ., Washington, DC

SOURCE:

Journal of Pharmacology and Experimental

Therapeutics (1958), 122, 431-4

CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE:

Journal

LANGUAGE: Unavailable

The title compd., with all carbons of the 3 aziridino groups labeled, was synthesized by known methods from HOC14H2C14H2NH2. Its in vivo metabolism was studied after intraperitoneal or intravenous injection in mice. After intravenous injection the radioactivity disappeared from the blood within a few min. Very little radioactivity appeared in the feces and exhaled air. Between 68 and 73% of the injected radioactivity was excreted in the urine in the first 24 hrs. and 4-6% in the next 24 hrs. Chromatographic sepn. on an ion-exchange column revealed at least 16 radioactive metabolites in the urine. Five of these accounted for 74% of the radioactivity; the largest amt. (34%) appeared to be in the creatine fraction. Less than 1% of the urinary radioactivity was present as urea. None

of the other major metabolites were normally occurring constituents of urine. Their possible nature is discussed.

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(metabolism by intestine)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT 60-40-2, 2-Norbornanamine, N,2,3,3-tetramethyl-(metabolism by intestine)

L17 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1956:84431 HCAPLUS

DOCUMENT NUMBER: 50:84431
ORIGINAL REFERENCE NO.: 50:15964e-q

ORIGINAL REFERENCE NO.: 50.15304e-9

TITLE: Renal elimination of 3-methylaminoisocamphane

hydrochloride (mecamylamine)

AUTHOR(S): Baer, John E.; Paulson, Sue: F.; Russo, Horace

F.; Beyer, Karl H.

CORPORATE SOURCE: Merck Inst. for Therapeutic Research, West

Point, PA

SOURCE: American Journal of Physiology (1956), 186,

180-6

CODEN: AJPHAP; ISSN: 0002-9513

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C.A. 48, 2266b. Mecamylamine can be both actively secreted and actively reabsorbed by the renal tubles in the dog. Net secretion occurs when the urine is acid; net reabsorption occurs when the urine is alk. A direct renal extn. study showed that tubular secretion occurred at rates equal to effective renal plasma flow. The clearance of mecamylamine was depressed below glomerular filtration rate when the urine became alk. The secretory mechanism is not identical with that for p-aminohippurate. Approx. 1/4 of an administered dose is excreted in the urine within 24 hrs., whether given orally or parenterally. These data are consistent with the biol. evidence that absorption from the gastrointestinal tract is essentially complete, and that extrarenal factors are

important in the over-all physiol. economy of the drug.

IT 60-40-2, 2-Norcamphanamine, N,2,3,3-tetramethyl-(kidney excretion of)

RN 60-40-2 HCAPLUS

CN Bicyclo[2.2.1]heptan-2-amine, N,2,3,3-tetramethyl- (9CI) (CA INDEX NAME)

CC 11H (Biological Chemistry: Pharmacology)

IT 60-40-2, 2-Norcamphanamine, N,2,3,3-tetramethyl-(kidney excretion of)